

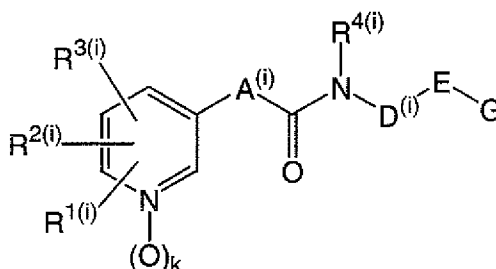
## IN THE CLAIMS:

This listing of claims will replace all previous versions and listings of claims in the application:

1-56. (Canceled)

57. (Currently amended) A pharmaceutical composition comprising:

(a) at least one cancerostatic or immunosuppressive agent selected from the group consisting of compounds of formula (I):



(I)

wherein:

**$R^{1(i)}$**  is selected from

hydrogen, halogen, cyano,  $C_1$ - $C_6$ -alkyl,  $C_3$ - $C_6$ -alkenyl,  $C_2$ - $C_6$ -alkinyl, trifluoromethyl, hydroxy,  $C_3$ - $C_8$ -cycloalkyl,  $C_1$ - $C_6$ -hydroxyalkyl,  $C_1$ - $C_6$ -alkoxy,  $C_3$ - $C_6$ -alkenyloxy,  $C_3$ - $C_6$ -alkinyloxy, benzyloxy,  $C_1$ - $C_7$ -alkanoyloxy,  $C_1$ - $C_7$ -alkoxycarbonyloxy,  $C_1$ - $C_6$ -alkylthio,  $C_3$ - $C_6$ -alkenylthio,  $C_3$ - $C_6$ -alkinylthio,  $C_3$ - $C_8$ -cycloalkyloxy,  $C_3$ - $C_8$ -cycloalkylthio,  $C_2$ - $C_7$ -alkoxycarbonyl, aminocarbonyl,  $C_2$ - $C_7$ -alkylaminocarbonyl,  $C_3$ - $C_{13}$ -dialkylaminocarbonyl, carboxy, phenyl, phenoxy, phenylthio, pyridyloxy, pyridylthio, and  **$NR^{5(i)}R^{6(i)}$** , wherein

**$R^{5(i)}$**  and  **$R^{6(i)}$**  are selected independently from each other from hydrogen,  $C_1$ - $C_6$ -alkyl,  $C_3$ - $C_6$ -alkenyl,  $C_3$ - $C_6$ -alkinyl, benzyl and phenyl;

- R<sup>2(i)</sup>** is selected from  
hydrogen, halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy,  
benzyl and C<sub>1</sub>-C<sub>6</sub>-alkanoyloxy; or
- R<sup>1(i)</sup>** and **R<sup>2(i)</sup>** when they are adjacent optionally form a bridge selected from  
-(CH<sub>2</sub>)<sub>4</sub>-, -(CH=CH)<sub>2</sub>- and -CH<sub>2</sub>O-**CR<sup>7(i)</sup>****R<sup>8(i)</sup>**-O-, wherein  
**R<sup>7(i)</sup>** and **R<sup>8(i)</sup>** are selected independently from each other from hydrogen and  
C<sub>1</sub>-C<sub>6</sub>-alkyl;
- R<sup>3(i)</sup>** selected is from  
hydrogen, halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl and C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl;
- R<sup>4(i)</sup>** is selected from  
hydrogen, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>3</sub>-C<sub>6</sub>-alkinyl,  
C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy and benzyloxy;
- k** is 0 or 1,
- A(i)** is selected from  
C<sub>1</sub>-C<sub>6</sub>-alkylene, optionally substituted one- to three-fold by C<sub>1</sub>-C<sub>6</sub>-alkyl,  
C<sub>1</sub>-C<sub>3</sub>-alkoxy, hydroxy, fluorine, or phenyl,  
C<sub>2</sub>-C<sub>6</sub>-alkylene, wherein a methylene unit is isosterically replaced by O, S,  
**NR<sup>9(i)</sup>**, CO, SO or SO<sub>2</sub>, wherein, with the exception of CO, the isosteric  
substitution is not adjacent to the amide group, and  
**R<sup>9(i)</sup>** is selected from hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>3</sub>-C<sub>6</sub>-alkinyl,  
C<sub>3</sub>-C<sub>6</sub>-acyl and C<sub>1</sub>-C<sub>6</sub>-alkanesulfonyl,  
1,2-cyclopropylene,  
C<sub>2</sub>-C<sub>6</sub>-alkenylene, optionally substituted one to three-fold by C<sub>1</sub>-C<sub>6</sub>-alkyl,  
hydroxy, C<sub>1</sub>-C<sub>3</sub>-alkoxy, fluorine, cyano or phenyl,  
C<sub>4</sub>-C<sub>6</sub>-alkadienylene, optionally substituted once or twice by C<sub>1</sub>-C<sub>3</sub>-alkyl,  
fluorine, cyano or phenyl,

1,3,5-hexatrienylene, optionally substituted by C<sub>1</sub>-C<sub>6</sub>-alkyl, fluorine, cyano or phenyl, and ethynylene;

**D<sup>(i)</sup>** is selected from

C<sub>1</sub>-C<sub>12</sub>-alkylene, optionally substituted once or twice by C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy, or phenyl,

C<sub>2</sub>-C<sub>12</sub>-alkenylene or C<sub>4</sub>-C<sub>12</sub>-alkadienylene, optionally substituted once or twice by C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy, or phenyl, wherein one double-bond can optionally occur to ring **E** in the case that ring **E** is linked over a C-atom,

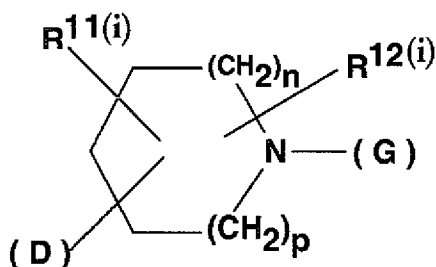
C<sub>3</sub>-C<sub>12</sub>-alkynylene or C<sub>4</sub>-C<sub>12</sub>-alkenynylene, optionally substituted once or twice by C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy or phenyl, and

C<sub>1</sub>-C<sub>12</sub>-alkylene, C<sub>2</sub>-C<sub>12</sub>-alkenylene or C<sub>3</sub>-C<sub>12</sub>-alkynylene, wherein, one to three methylene units, with the exception of the (G)-terminal methylene group in the case that **E** represents a bond, are isosterically replaced by O, S, **NR<sup>10(i)</sup>**, CO, SO or SO<sub>2</sub>, wherein

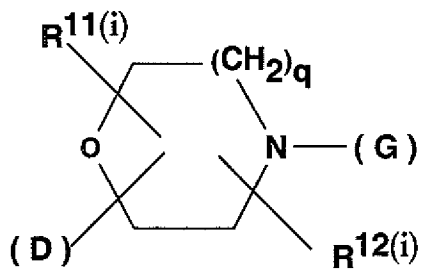
**R<sup>10(i)</sup>** has the same meaning as **R<sup>9(i)</sup>**, but is selected independently thereof;

**E** is selected from **E<sup>1(i)</sup>**, **E<sup>2(i)</sup>**, **E<sup>3</sup>**, **E<sup>4</sup>**, **E<sup>5</sup>** and **E<sup>6</sup>**, wherein

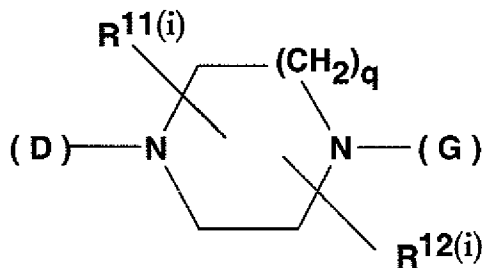
**E<sup>1(i)</sup>** is



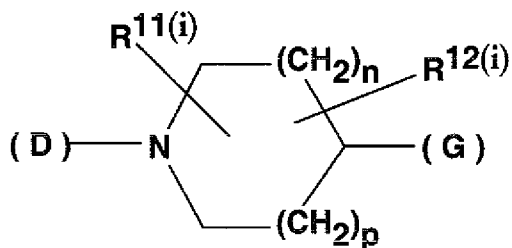
**E<sup>2(i)</sup>** is



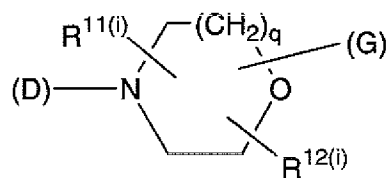
**E<sup>3</sup>** is



**E<sup>4</sup>** is



**E<sup>5</sup>** is



and

**E<sup>6</sup>** represents a single or double bond,

wherein the heterocyclic rings **E<sup>1</sup>(i)** to **E<sup>5</sup>** optionally have a double bond,

**n** and **p** are, independently from each other, 0, 1, 2, or 3 with the proviso that, **n + p** ≤ 4,

**q** is 1, 2 or 3;

**R<sup>11</sup>(i)** is selected from

hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy,

hydroxymethyl, carboxy and C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl,

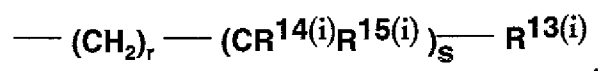
**R<sup>12(i)</sup>** is selected from

hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl and an oxo group adjacent to a nitrogen atom, or

**R<sup>11(i)</sup>** and **R<sup>12(i)</sup>**, optionally together, form a C<sub>1</sub>-C<sub>3</sub>-alkylene bridge under formation of a bicyclic ring system, and

(a) in the case that E represents **E<sup>1(i)</sup>**, **E<sup>2(i)</sup>**, or **E<sup>3</sup>** the substituent G optionally is selected from **G<sup>1(i)</sup>**, **G<sup>2(i)</sup>**, **G<sup>3(i)</sup>**, **G<sup>4(i)</sup>** and **G<sup>5(i)</sup>**, wherein

**G<sup>1(i)</sup>** is



wherein

**r** is 0 to 3 and

**s** is 0 or 1,

**R<sup>13(i)</sup>** is selected from

hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>3</sub>-C<sub>6</sub>-alkinyl and C<sub>3</sub>-C<sub>8</sub>-cycloalkyl;

saturated or unsaturated, four to seven-membered heterocycles which contain one or two hetero-atoms selected from N, S and O;

benzyl, phenyl;

monocyclic aromatic five or six-membered heterocycles which contain one to three hetero-atoms selected from N, S and O, and are either bound directly or over a methylene group;

annelated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group;

annelated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from N, S and O and the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group;

**R<sup>14(i)</sup>** has the same meaning as **R<sup>13(i)</sup>**, but is independently selected therefrom;

**R<sup>15(i)</sup>** is selected from

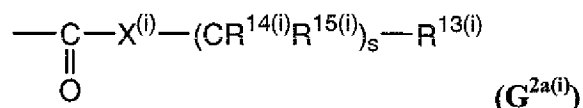
hydrogen, hydroxy, methyl, benzyl, phenyl,

monocyclic aromatic five or six-membered heterocycles which contain one to three hetero-atoms selected from N, S and O and are either bound directly or over a methylene group;

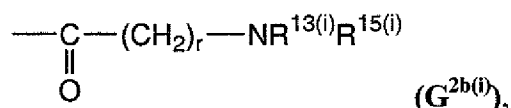
anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group;

anellated bi- and tricyclic aromatic or partially hydrated heterocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from N, S and O and the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group;

**G<sup>2(i)</sup>** is selected from

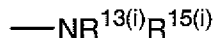


and



wherein **r**, **s** and the substituents **R<sup>13(i)</sup>**, **R<sup>14(i)</sup>** and **R<sup>15(i)</sup>** have the above meanings,

or the group



is a nitrogen-containing heterocycle bound over the nitrogen atom, which nitrogen-containing heterocycle is selected from

saturated and unsaturated monocyclic, four to eight-membered heterocycles, which aside from the essential nitrogen atom, optionally contain one or two further hetero-atoms selected from N, S and O,

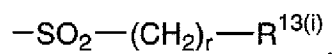
and

saturated and unsaturated bi- or tricyclic, anellated or bridged heterocycles with 8 to 16, 17 or 18 ring atoms, which aside from the essential nitrogen atom, optionally contain one or two further hetero-atoms selected from N, S and O,

**X<sup>(i)</sup>** is selected from

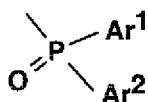
methylene, ethylene, ethenylene, propylene, and C<sub>3</sub>-C<sub>7</sub>-cycloalkylene, or represents a bond;

**G<sup>3(i)</sup>** is



wherein **r** and **R<sup>13(i)</sup>** have the above meanings,

**G<sup>4(i)</sup>** is



wherein

**Ar<sup>1</sup>** and **Ar<sup>2</sup>** are selected independently from each other from phenyl, pyridyl and naphthyl;

**G<sup>5(i)</sup>** is



wherein

**R<sup>16(i)</sup>** is selected from

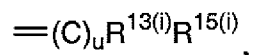
trifluoromethyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>3</sub>-C<sub>6</sub>-alkenyloxy, and benzyloxy,

**(b)** in the case that **E** is **E<sup>4</sup>** or **E<sup>5</sup>**,

then **G** optionally is **G<sup>1(i)</sup>**, **G<sup>2(i)</sup>**, **G<sup>6(i)</sup>**, **G<sup>7</sup>** or **G<sup>8</sup>**,

wherein **G<sup>1(i)</sup>** and **G<sup>2(i)</sup>** have the above meanings and

**G<sup>6(i)</sup>** is



wherein **R<sup>13(i)</sup>** and **R<sup>15(i)</sup>** have the above meanings and

**u** is 0 or 1,

or when **u** = 1, then **R<sup>13(i)</sup>** and **R<sup>15(i)</sup>** together with the carbon atom to which they are attached form a ring system selected from

C<sub>3</sub>-C<sub>8</sub>-cycloalkyl,

saturated, four to seven-membered heterocycles which optionally contain one or two hetero-atoms, selected from N, S and O;

anellated bi- and tricyclic partially hydrogenated carbocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring;

anellated bi- and tricyclic partially hydrogenated heterocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from N, S and O;

or when **u** = 0 then **R<sup>13(i)</sup>** and **R<sup>15(i)</sup>** together with the carbon atom of ring **E** to which they are attached form a ring system selected from

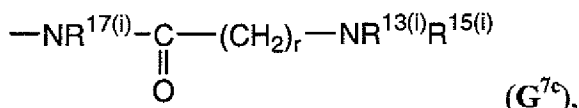
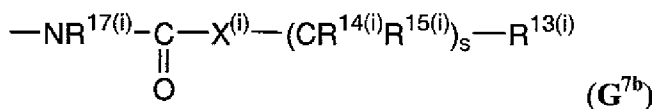
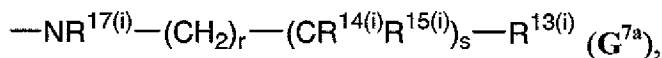
C<sub>3</sub>-C<sub>8</sub>-cycloalkyl,

saturated, four to seven-membered heterocycles which contain one or two hetero-atoms, selected from N, S and O;

anellated bi- and tricyclic partially hydrogenated carbocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring; and

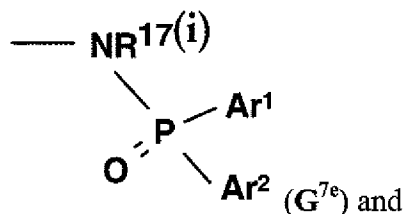
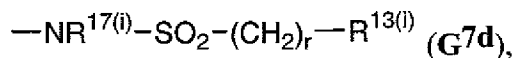
anellated bi- and tricyclic partially hydrogenated heterocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from N, S and O;

**G<sup>7</sup>** is selected from



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wherein  $r, s, \text{X}^{(i)}$ , the substituents  $\text{R}^{13(i)}, \text{R}^{14(i)}, \text{R}^{15(i)}$  and  $\text{R}^{16(i)}$  and the group

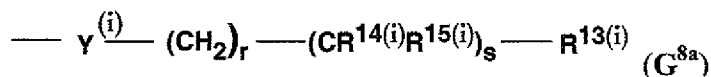


have the above meanings, and

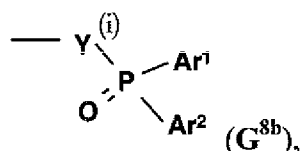
$\text{R}^{17(i)}$  has the same meanings as  $\text{R}^{5(i)}$ , but is selected independently thereof,

$\text{Ar}^1$  and  $\text{Ar}^2$  are selected independently from each other from phenyl, pyridyl and naphthyl;

$\text{G}^8$  is selected from



and



wherein

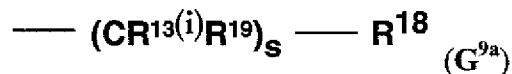
$r, s$  and the substituents  $\text{R}^{13(i)}, \text{R}^{14(i)}, \text{R}^{15(i)}, \text{Ar}^1$  and  $\text{Ar}^2$  have the above meanings, and

$\text{Y}^{(i)}$  is O or S;

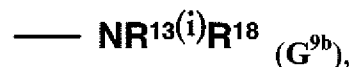
(c) in the case that the substituent E is  $\text{E}^6$ ,

then the substituent G optionally is selected from  $\text{G}^{7d}, \text{G}^{7e}, \text{G}^{8b}, \text{G}^9, \text{G}^{10}, \text{G}^{11}, \text{G}^{12}$ , and  $\text{G}^{13}$ , wherein  $\text{G}^{7d}, \text{G}^{7e}$  and  $\text{G}^{8b}$  have the above meanings and

$\text{G}^9$  is selected from



and



wherein  $s$  and  $\text{R}^{13(i)}$  are defined as above, and

$\text{R}^{18}$  is selected from

benzyl, diphenylmethyl, phenyl;

monocyclic aromatic five and six-membered heterocycles which can contain one to three hetero-atoms selected from N, S and O and are either bound directly or over a methylene group;

annelated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group; and

annelated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from N, S and O and the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group;

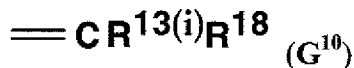
$\text{R}^{19}$  has the same meanings as  $\text{R}^{13(i)}$  but is selected independently thereof, and in addition can be hydroxy; or the group



optionally is a nitrogen-containing heterocycle bound over the nitrogen atom, which nitrogen-containing heterocycle is selected from

annelated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, which aside from the essential nitrogen atom, optionally contain one or two further hetero-atoms selected from N, S and O;

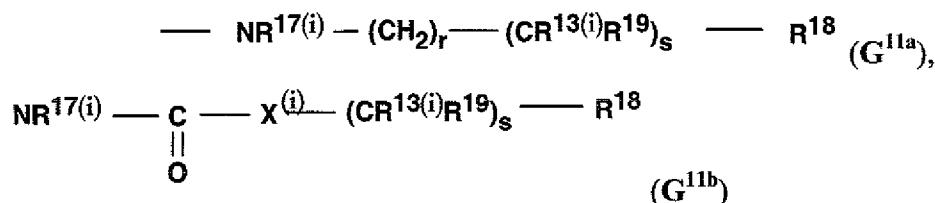
$\text{G}^{10}$  is



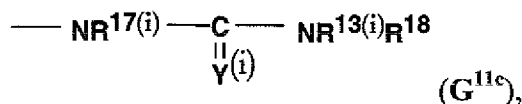
bound to D by means of a double bond, wherein **R<sup>13(i)</sup>** and **R<sup>18</sup>** have the above meanings, or wherein **G<sup>10</sup>**

optionally is a ring system bound over the carbon atom, selected from anellated bi- and tricyclic partially hydrogenated carbocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring; and anellated bi- and tricyclic partially hydrogenated heterocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, wherein one to three ring atoms optionally are selected from N, S and O;

**G<sup>11</sup>** is selected from

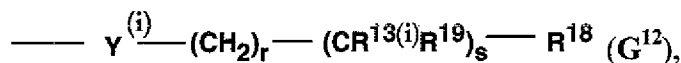


and



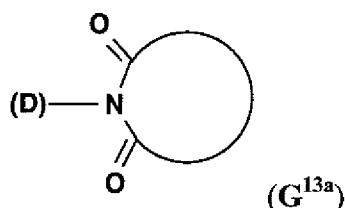
wherein **r**, **s**, **X<sup>(i)</sup>**, **Y<sup>(i)</sup>**, the substituents **R<sup>13(i)</sup>**, **R<sup>17(i)</sup>**, **R<sup>18</sup>** and **R<sup>19</sup>** and the group **—NR<sup>13(i)</sup>R<sup>18</sup>** have the above meanings;

**G12** is

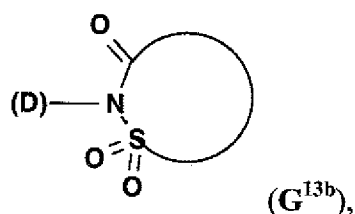


wherein **r**, **s**, **Y(i)** and the substituents **R<sup>13(i)</sup>**, **R<sup>18</sup>** and **R<sup>19</sup>** have the above meanings;

**G<sup>13</sup>** is selected from



and



bound to D over the imide nitrogen atom, selected from saturated and unsaturated monocyclic imides with 5 to 7 ring atoms, which, aside from the essential imide nitrogen atom, optionally contains one or two further hetero-atoms selected from N, S and O;

saturated, unsaturated and aromatic anellated, bi-, tri- or tetracyclic imides with 8 to 18 ring atoms, which, aside from the essential imide nitrogen atom, optionally contain one or two further hetero-atoms selected from N, S and O;

saturated and unsaturated, bridged bi-, tri-, tetra- or pentacyclic imides with 8 to 22 ring-atoms, which, aside from the essential imide nitrogen atom, optionally contain one or two further hetero-atoms selected from N, S and O; and

saturated and unsaturated spirocyclic imides, optionally anellated one or two-fold, and with a total of 9 to 23 ring atoms, which, aside from the essential imide nitrogen atom, optionally contain one or two further hetero-atoms selected from N, S and O,

wherein these cyclic imides optionally are substituted by one to five of the same or different groups selected independently from each other from

halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkylidene, trifluoromethyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkylidene, phenyl-C<sub>1</sub>-C<sub>3</sub>-alkyl, phenyl-C<sub>1</sub>-C<sub>3</sub>-alkylidene, diphenyl-C<sub>1</sub>-C<sub>3</sub>-alkyl, diphenyl-C<sub>1</sub>-C<sub>3</sub>-alkylidene, triphenylmethyl, phenyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy entirely or partially substituted by fluorine, benzyloxy, phenoxy, naphthyloxy, mercapto, C<sub>1</sub>-C<sub>6</sub>-alkylthio, phenylthio, naphthylthio, pyridylthio, C<sub>1</sub>-C<sub>6</sub>-alkanesulfonyl, phenylsulfonyl, naphthylsulfonyl, pyridylsulfonyl, sulfo, carboxy, C<sub>2</sub>-C<sub>7</sub>-carboxyalkyl, C<sub>3</sub>-C<sub>7</sub>-carboxyalkenyl, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl,

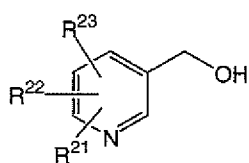
benzyloxycarbonyl, nitro, amino, C<sub>1</sub>-C<sub>6</sub>-aminoalkyl, mono-C<sub>1</sub>-C<sub>6</sub>-alkylamino di(C<sub>1</sub>-C<sub>6</sub>-alkyl)amino, phenylamino, phenyl-C<sub>1</sub>-C<sub>3</sub>-alkylamino, pyridylamino, saturated and unsaturated, four to seven-membered heterocycles which contain one or two hetero-atoms selected from N, S and O and are either bound directly or over a methylene group or a methine group, monocyclic aromatic five and six-membered heterocycles which contain one to three hetero-atoms, selected from N, S and O and are either bound directly or over methylene group or a methine group, anellated bicyclic, aromatic and partially hydrogenated carbocyclic ring systems with 8 to 12 ring atoms which are either bound directly over a methylene group or a methine group, and anellated bicyclic aromatic and partially hydrogenated heterocyclic ring systems with 8 to 12 ring atoms, wherein one to three ring atoms are selected from N, S and O and are either bound directly or over a methylene group or a methine group, wherein aromatic ring systems in the substituents **R<sup>1(i)</sup>**, **R<sup>2(i)</sup>**, **R<sup>4(i)</sup>**, **R<sup>5(i)</sup>**, **R<sup>6(i)</sup>**, **R<sup>13(i)</sup>**, **R<sup>14(i)</sup>**, **R<sup>15(i)</sup>**, **R<sup>16(i)</sup>**, **R<sup>17(i)</sup>**, **R<sup>18</sup>**, **R<sup>19</sup>**, **Ar<sup>1</sup>** and **Ar<sup>2</sup>**, in the groups **A(i)** and **D(i)**, in the ring systems  $\text{=CR}^{13(i)}\text{R}^{15(i)}$ ,  $\text{=CR}^{13(i)}\text{R}^{18}$ ,  $\text{—NR}^{13(i)}\text{R}^{15(i)}$  and  $\text{—NR}^{13(i)}\text{R}^{18(i)}$  as well as substituents and/or substituents in the cyclic imides **G<sup>13</sup>** optionally are independently substituted by one to three of the same or different groups, selected from halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, benzyl, phenyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto, C<sub>1</sub>-C<sub>6</sub>-alkylthio, phenylthio, sulfo, carboxy, C<sub>2</sub>-C<sub>7</sub>-carboxyalkyl, C<sub>3</sub>-C<sub>7</sub>-carboxyalkenyl, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, C<sub>1</sub>-C<sub>6</sub>-aminoalkyl, mono-C<sub>1</sub>-C<sub>6</sub>-alkylamino and di(C<sub>1</sub>-C<sub>6</sub>-alkyl)amino, and in the case of two adjacent residues on the aromatic ring, methylenedioxy, wherein alkyl and cycloalkyl residues in the groups **G** optionally are substituted by one or two of the same or different groups, selected from

hydroxy, carboxy, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, benzyloxycarbonyl, amino, mono-C<sub>1</sub>-C<sub>6</sub>-alkylamino and di(C<sub>1</sub>-C<sub>6</sub>-alkyl)amino;

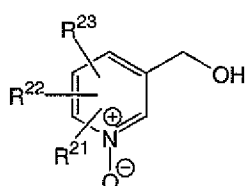
and the stereoisomers or racemic or non-racemic mixtures of stereoisomers thereof, and the tautomers thereof when G is a heterocyclic aromatic ring or an aromatic ring substituted by a hydroxy, mercapto or amino group,

and the pharmacologically acceptable acid addition salts thereof;

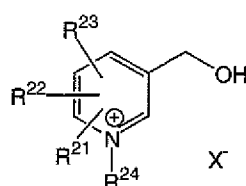
(b) at least one compound having vitamin PP activity ~~or an ester thereof~~ which is selected from the group consisting of compounds of formulae II, IIa, IIb, III, IIIa, IIIb, IIIc, IV, IVa, IVb, V, Va, and Vb:



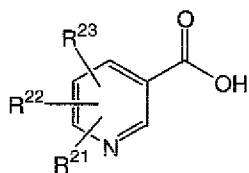
(II)



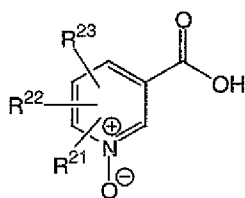
(IIa)



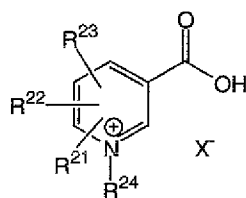
(IIb)



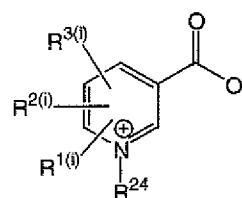
(III)



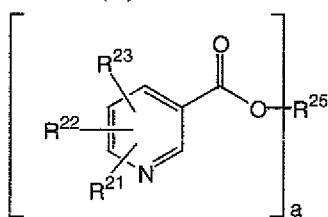
(IIIa)



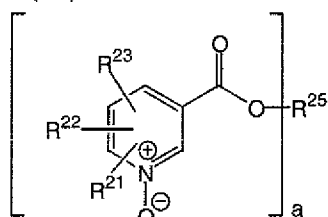
(IIIb)



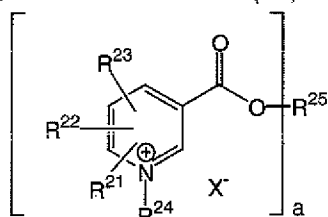
(IIIc)



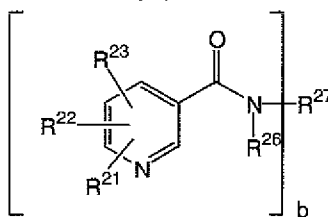
(IV)



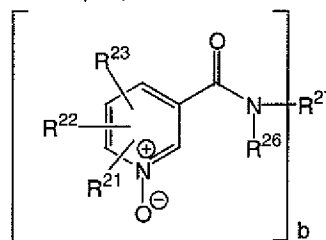
(IVa)



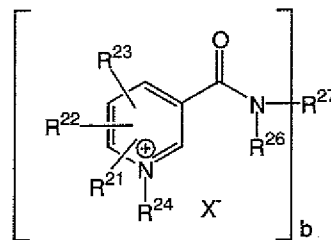
(IVb)



(V)



(Va)



(Vb)

wherein:

- a** is an integer of 1 through 6;
- b** is an integer of 1 through 2;
- X<sup>-</sup>** is selected from the group consisting of fluoride, chloride, bromide, iodide, hydrogensulfate, methanesulfonate, trifluoromethanesulfonate, tosylate, tetrafluoroborate, dihydrogenphosphate, and acetate;
- R<sup>21</sup>** is selected from the group consisting of hydrogen, halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>7</sub>-alkanoyloxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-aminoalkyl, amino, C<sub>1</sub>-C<sub>6</sub>-alkylamino, C<sub>2</sub>-C<sub>12</sub>-dialkylamino, formyl, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, aminocarbonyl, C<sub>2</sub>-C<sub>7</sub>-alkylaminocarbonyl, C<sub>3</sub>-C<sub>13</sub>-dialkylamino-carbonyl and carboxy;
- R<sup>22</sup>** is selected from the group consisting of hydrogen, halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>7</sub>-alkanoyloxy, C<sub>1</sub>-C<sub>6</sub>-aminoalkyl, amino, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, aminocarbonyl, and carboxy;
- R<sup>23</sup>** is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, and C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl;
- R<sup>24</sup>** is selected from the group consisting of C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>2</sub>-C<sub>6</sub>-hydroxyalkyl, C<sub>2</sub>-C<sub>6</sub>-alkoxyalkyl and benzyl;
- R<sup>25</sup>** is such that the alcohol **R<sup>25</sup>(OH)<sub>a</sub>** is selected from monovalent, linear and branched, C<sub>1</sub>-10-alkanols and  $\omega$ -dialkylaminoalkanols, benzyl alcohol, divalent linear and branched C<sub>2</sub>-10-diols, mono- or divalent C<sub>5</sub>-7-cycloalkanols, C<sub>5</sub>-7-cycloalkanediols, C<sub>5</sub>-7-cycloalkanemethanols, saturated C<sub>5</sub>-7-heterocyclomethanols, glycerin, 2,2-bis(hydroxymethyl)-1-octanol, erythritol, pentaerythritol, arabitol, xylitol, sorbitol, mannitol, isosorbitol, tetra(hydroxymethyl)cyclohexanol, and inositol;
- R<sup>26</sup>** is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, C<sub>3</sub>-C<sub>6</sub>-alkoxyalkyl, C<sub>1</sub>-C<sub>6</sub>-aminoalkyl, C<sub>4</sub>-C<sub>12</sub>-dialkylaminoalkyl and carboxymethyl;

when b is 1,

**R<sup>27</sup>** is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, C<sub>3</sub>-C<sub>6</sub>-alkoxyalkyl, C<sub>1</sub>-C<sub>6</sub>-aminoalkyl, C<sub>4</sub>-C<sub>12</sub>-dialkylaminoalkyl and carboxymethyl;

when b is 2,

**R<sup>27</sup>** is C<sub>2</sub>-C<sub>10</sub>-alkylene, or C<sub>5</sub>-C<sub>10</sub>-alkylene wherein a methylene group is isosterically replaced by O, NH or N-alkyl;  
and their C=S analogs of C=O groups,  
and the pharmaceutical acceptable salts thereof; and  
(c) at least one physiologically acceptable carrier.

58. (Previously presented) The composition of claim 57, wherein the compound of formula (I) is selected from the group consisting of:

N-[2-(1-benzylpiperidin-4-yl)-ethyl]-3-(pyridin-3-yl)-propionamide;  
N-{2-[1-(2-phenylethyl)-piperidin-4-yl]-ethyl}-3-(pyridin-3-yl)-propionamide;  
N-{2-[1-(4-phenylbutyl)-piperidin-4-yl]-ethyl}-3-(pyridin-3-yl)-propionamide;  
N-{2-[1-(4-hydroxy-4-phenylbutyl)-piperidin-4-yl]-ethyl}-3-(pyridin-3-yl)-propionamide;  
N-[2-(1-diphenylmethylpiperidin-4-yl)-ethyl]-3-(pyridin-3-yl)-propionamide;  
N-[3-(1-diphenylmethylpiperidin-4-yl)-propyl]-3-(pyridin-3-yl)-propionamide;  
N-[4-(1-diphenylmethylpiperidin-4-yl)-butyl]-3-(pyridin-3-yl)-propionamide;  
N-[4-(1-benzylpiperidin-4-yl)-butyl]-3-(pyridin-3-yl)-acrylamide;  
N-{4-[1-(2-phenylethyl)-piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-acrylamide;  
N-{4-[1-(4-biphenylmethyl)-piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-acrylamide;  
N-{4-[1-(1-naphthylmethyl)-piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-acrylamide;  
N-{4-[1-(9-anthrylmethyl)-piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-acrylamide;  
N-{4-[1-(cyclohexylphenylmethyl)-piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-acrylamide;  
N-{4-[1-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-acrylamide;  
N-[2-(1-diphenylmethylpiperidin-4-yl)-ethyl]-3-(pyridin-3-yl)-acrylamide;  
N-[3-(1-diphenylmethylpiperidin-4-yl)-propyl]-3-(pyridin-3-yl)-acrylamide;  
N-[5-(1-diphenylmethylpiperidin-4-yl)-pentyl]-3-(pyridin-3-yl)-acrylamide;  
N-[6-(1-diphenylmethylpiperidin-4-yl)-hexyl]-3-(pyridin-3-yl)-acrylamide;  
N-[4-(1-diphenylmethylpiperidin-4-yl)-butyl]-5-(pyridin-3-yl)-2,4-pentadienic acid amide;  
N-(4-{1-[bis-(4-fluorophenyl)-methyl]-piperidin-4-yl}-butyl)-3-(pyridin-3-yl)-acrylamide;  
N-(4-{1-[bis-(2-chlorophenyl)-methyl]-piperidin-4-yl}-butyl)-3-(pyridin-3-yl)-acrylamide;  
N-[4-(1-diphenylmethylpiperidin-4-yl)-butyl]-3-(2-fluoropyridin-3-yl)-acrylamide;

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N-[4-(1-diphenylmethylpiperidin-4-yl)-butyl]-3-(6-fluoropyridin-3-yl)-acrylamide;  
 N-[4-(1-diphenylmethylpiperidin-4-yl)-butyl]-3-(pyridin-3-yl)-acrylamide;  
 N-[4-(1-diphenylmethylpiperidin-4-yl)-butyl]-3-(pyridin-3-yl)-acrylamide  
 dihydrochloride;  
 N-[4-(1-diphenylmethylpiperidin-4-yl)-butyl]-3-(pyridin-3-yl)-acrylamide  
 methanesulfonate;  
 N-[4-(1-acetyl-piperidin-4-yl)-butyl]-3-(pyridin-3-yl)-propionamide;  
 N-[4-(1-benzoyl-piperidin-4-yl)-butyl]-3-(pyridin-3-yl)-propionamide;  
 N-[4-(1-diphenylacetyl-piperidin-4-yl)-butyl]-3-(pyridin-3-yl)-propionamide;  
 N-{4-[1-(9-oxo-9H-fluoren-4-carbonyl)-piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-  
 propionamide;  
 N-[4-(1-methylsulfonyl-piperidin-4-yl)-butyl]-3-(pyridin-3-yl)-propionamide;  
 N-{4-[1-(2-naphthyl-sulfonyl)-piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-propionamide;  
 N-[4-(1-benzyl-piperidin-4-yl)-butyl]-3-(pyridin-3-yl)-propionamide;  
 N-(4-{1-[bis-(2-chlorophenyl)-methyl]-piperidin-4-yl}-butyl)-3-(pyridin-3-yl)-  
 propionamide;  
 N-{4-[1-(phenylpyridin-3-yl-methyl)-piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-  
 propionamide;  
 N-{4-[1-(9H-fluoren-9-yl)-piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-propionamide;  
 N-{4-[1-(6,11-dihydrodibenzo[b,e]oxepin-11-yl)-piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-  
 propionamide;  
 N-{4-[1-(1-naphthylamino-carbonyl)-piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-  
 propionamide;  
 N-[4-(1-diphenylamino-carbonyl-piperidin-4-yl)-butyl]-3-(pyridin-3-yl)-propionamide;  
 N-{4-[1-(10,11-dihydro-dibenzo[b,f]azepin-5-yl-carbonyl)-piperidin-4-yl]-butyl}-3-  
 (pyridin-3-yl)-propionamide;  
 N-[4-(1-diphenylphosphinoyl-piperidin-4-yl)-butyl]-3-(pyridin-3-yl)-propionamide;  
 N-[4-(1-diphenylmethylpiperidin-4-yl)-butyl]-3-(2-fluoropyridin-3-yl)-propionamide;  
 N-[4-(1-diphenylmethylpiperidin-4-yl)-butyl]-3-(5-fluoropyridin-3-yl)-propionamide;  
 N-[4-(1-diphenylmethylpiperidin-4-yl)-butyl]-2-fluoro-3-(pyridin-3-yl)-propionamide;  
 N-[4-(1-diphenylmethylpiperidin-4-yl)-butyl]-2,2-difluoro-3-(pyridin-3-yl)-  
 propionamide;  
 N-[5-(1-diphenylmethylpiperidin-4-yl)-pentyl]-3-(pyridin-3-yl)-propionamide;  
 N-[6-(1-diphenylmethylpiperidin-4-yl)-hexyl]-3-(pyridin-3-yl)-propionamide;  
 N-[2-(1-diphenylmethylpiperidin-4-yl)-ethyl]-5-(pyridin-3-yl)-pentanoic acid amide;

N-[4-(1-diphenylmethylpiperidin-4-yl)-butyl]-5-(pyridin-3-yl)-pentanoic acid amide;  
 N-[4-(1-diphenylmethylpiperidin-4-yl)-butyl]-N-hydroxy-3-(pyridin-3-yl)-propionamide;  
 N-[4-(1-diphenylmethylpiperidin-4-yl)-butyl]-2-hydroxy-3-(pyridin-3-yl)-propionamide;  
 N-[4-(1-diphenylmethylpiperidin-4-yl)-butyl]-3-hydroxy-3-(pyridin-3-yl)-propionamide;  
 N-[4-(1-diphenylmethylpiperidin-4-yl)-butyl]-3-(pyridin-3-yl)-propionamide;  
 N-[4-(1-methylsulfonylpiperidin-4-yl)-butyl]-3-(pyridin-3-yl)-acrylamide;  
 N-{4-[1-(2-naphthylsulfonyl)-piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-acrylamide;  
 N-{4-[1-(2-naphthylsulfonyl)-piperidin-4-yl]-butyl}-5-(pyridin-3-yl)-2,4-pentadienic  
 acid amide;  
 N-{4-[1-(1-naphthylaminocarbonyl)-piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-acrylamide;  
 N-[4-(1-diphenylaminocarbonylpiperidin-4-yl)-butyl]-3-(pyridin-3-yl)-acrylamide;  
 N-[4-(1-diphenylaminocarbonyl-piperidin-4-yl)-butyl]-5-(pyridin-3-yl)-2,4-pentadienic  
 acid amide;  
 N-{4-[1-(10,11-dihydrodibenzo[b,f]azepin-5-yl-carbonyl)-piperidin-4-yl]-butyl}-3-  
 (pyridin-3-yl)-acrylamide;  
 N-[4-(1-diphenylphosphinoyl-piperidin-4-yl)-butyl]-3-(pyridin-3-yl)-acrylamide;  
 N-[4-(1-acetylpiperidin-4-yl)-butyl]-3-(pyridin-3-yl)-acrylamide;  
 N-[4-(1-diphenylacetylpiperidin-4-yl)-butyl]-3-(pyridin-3-yl)-acrylamide;  
 N-{4-[1-(3,3-diphenylpropionyl)-piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-acrylamide;  
 N-[4-(1-benzoylpiperidin-4-yl)-butyl]-3-(pyridin-3-yl)-acrylamide;  
 N-[4-(1-benzoylpiperidin-4-yl)-butyl]-5-(pyridin-3-yl)-2,4-pentadienic acid amide;  
 N-{4-[1-(9-oxo-9H-fluoren-4-yl-carbonyl)-piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-  
 acrylamide;  
 N-{4-[1-(phenylpyridin-3-yl-methyl)-piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-acrylamide;  
 N-{4-[1-(phenylpyridin-4-yl-methyl)-piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-acrylamide;  
 N-{4-[1-(6,11-dihydrodibenzo[b,e]oxepin-11-yl)-piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-  
 acrylamide;  
 N-{4-[1-(6,11-dihydrodibenzo[b,e]thiepin-11-yl)-piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-  
 acrylamide;  
 N-[7-(1-diphenylmethylpiperidin-4-yl)-heptyl]-3-(pyridin-3-yl)-acrylamide;  
 N-[8-(1-diphenylmethylpiperidin-4-yl)-octyl]-3-(pyridin-3-yl)-acrylamide;  
 N-[3-(1-diphenylmethylpiperidin-4-yloxy)-propyl]-3-(pyridin-3-yl)-acrylamide;  
 N-[3-(1-benzylpiperidin-4-yloxy)-propyl]-3-(pyridin-3-yl)-acrylamide;  
 N-[2-(1-diphenylmethylpiperidin-4-yl)-ethyl]-5-(pyridin-3-yl)-2,4-pentadienic acid  
 amide;

N-[4-(1-diphenylmethylpiperidin-4-yl)-butyl]-5-(pyridin-3-yl)-2,4-pentadienic acid amide;

N-[5-(1-diphenylmethylpiperidin-4-yl)-pentyl]-5-(pyridin-3-yl)-2,4-pentadienic acid amide;

N-[6-(1-diphenylmethylpiperidin-4-yl)-hexyl]-5-(pyridin-3-yl)-2,4-pentadienic acid amide;

N-[4-(4-diphenylmethylpiperazin-1-yl)-3-hydroxy-butyl]-3-pyridin-3-yl-acrylamide;

N-[3-(4-diphenylmethylpiperazin-1-yl)-propoxy]-3-pyridin-3-yl-acrylamide;

N-[4-(4-diphenylmethylpiperazin-1-yl)-4-oxo-butyl]-3-pyridin-3-yl-acrylamide;

N-[3-(4-diphenylmethylpiperazin-1-sulfonyl)-propyl]-3-pyridin-3-yl-acrylamide;

N-{2-[2-(4-diphenylmethylpiperazin-1-yl)-ethoxy]-ethyl}-3-pyridin-3-yl-acrylamide;

N-(4-{4-[bis-(4-fluorophenyl)-methyl]-piperazin-1-yl}-but-2-ynyl)-3-pyridin-3-yl-acrylamide;

N-{4-[4-(4-carboxyphenyl-phenylmethyl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide;

N-(4-{4-[(4-aminophenyl)-phenylmethyl]-piperazin-1-yl}-butyl)-3-pyridin-3-yl-acrylamide;

N-{4-[4-(9H-fluoren-9-yl)-piperazin-1-yl]-butyl}-2-(pyridin-3-yloxy)-acetamide;

N-{5-[4-(9H-fluoren-9-yl)-piperazin-1-yl]-pentyl}-3-pyridin-3-yl-acrylamide;

N-{6-[4-(9H-fluoren-9-yl)-piperazin-1-yl]-hexyl}-3-pyridin-3-yl-acrylamide;

3-pyridin-3-yl-N-{4-[4-(1,2,3,4-tetrahydronaphthalen-1-yl)-piperazin-1-yl]-butyl}-acrylamide;

3-pyridin-3-yl-N-{4-[4-(5,6,7,8-tetrahydronaphthalen-1-yl)-piperazin-1-yl]-butyl}-acrylamide;

N-{4-[4-(naphthalen-1-yl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide;

N-[4-(4-biphenyl-2-yl)-piperazin-1-yl]-butyl]-3-pyridin-3-yl-propionamide;

N-[5-(4-biphenyl-2-yl)-piperazin-1-yl]-pentyl]-3-pyridin-3-yl-acrylamide;

N-[6-(4-biphenyl-2-yl)-piperazin-1-yl]-hexyl]-3-pyridin-3-yl-acrylamide;

N-[4-(4-biphenyl-2-yl)-piperazin-1-yl]-butyl]-2-(pyridin-3-yloxy)-acetamide;

N-[4-(4-biphenyl-2-yl)-piperazin-1-yl]-butyl]-5-(pyridin-3-yl)-penta-2,4-dienic acid amide;

N-{4-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-propionamide;

N-{5-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-piperazin-1-yl]-pentyl}-3-pyridin-3-yl-acrylamide;

N-{6-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-piperazin-1-yl]-hexyl}-3-pyridin-3-yl-acrylamide;  
 N-{4-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-piperazin-1-yl]-butyl}-5-(pyridin-3-yl)-penta-2,4-dienic acid amide;  
 N-{4-[4-(6,11-dihydro-dibenzo[b,e]oxepin-11-yl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-propionamide;  
 N-{2-[4-(6,11-dihydro-dibenzo[b,e]thiepin-11-yl)-piperazin-1-yl]-ethyl}-3-pyridin-3-yl-acrylamide;  
 N-[4-(4-diphenylacetyl)piperazin-1-yl]-butyl]-3-pyridin-3-yl-acrylamide;  
 N-[4-(4-benzoylpiperazin-1-yl)-butyl]-3-pyridin-3-yl-acrylamide;  
 N-{4-[4-(2-aminobenzoyl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide;  
 N-{4-[4-(4-carboxybenzoyl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide;  
 N-{4-[4-(biphenyl-2-carbonyl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide;  
 N-{4-[4-(9-oxo-9H-fluoren-4-carbonyl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide;  
 N-{4-[4-(furan-2-carbonyl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide;  
 N-{4-[4-(naphthalen-1-yl-aminocarbonyl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-propionamide;  
 N-{4-[4-(diphenylaminocarbonyl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide;  
 N-{4-[4-(naphthalen-2-sulfonyl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide;  
 N-[4-(4-diphenylphosphinonyl)-piperazin-1-yl]-butyl]-3-pyridin-3-yl-acrylamide;  
 N-[4-(4-biphenyl-2-yl)-piperazin-1-yl]-butyl]-3-pyridin-3-yl-acrylamide;  
 N-{4-[4-(9H-fluoren-9-yl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide;  
 N-{4-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide;  
 N-[4-(4-phenylpiperidin-1-yl)-butyl]-3-pyridin-3-yl-acrylamide;  
 N-{4-[4-(1H-indol-3-yl)-piperidin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide;  
 N-{4-[4-(2-oxo-2,3-dihydrobenzimidazol-1-yl)-piperidin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide;  
 N-[4-(4-benzotriazol-1-yl)-piperidin-1-yl]-butyl]-3-pyridin-3-yl-acrylamide;  
 N-{4-[4-(hydroxy-diphenylmethyl)-piperidin-1-yl]-butyl}-2-(pyridin-3-yloxy)-acetamide;  
 N-[4-(4,4-diphenylpiperidin-1-yl)-butyl]-3-pyridin-3-yl-acrylamide;  
 N-{4-[4-(6,11-dihydrodibenzo[b,e]thiepin-11-yliden)-piperidin-1-yl]-butyl}-3-pyridin-3-ylpropionamide dihydrochloride semi-isopropanol;

N-{4-[4-(6,11-dihydrodibenzo[b,e]thiepin-11-yliden)-piperidin-1-yl]-butyl}-5-pyridin-3-yl-pentanamide;  
 N-{4-[4-(4,9-dihydro-thieno[2,3-b]-benzo[e]thiepin-4-yliden)-piperidin-1-yl]-butyl}-3-pyridin-3-yl-propionamide;  
 N-{4-[4-(4,9-dihydro-thieno[2,3-b]-benzo[e]thiepin-4-yliden)-piperidin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide;  
 N-[4-(4-diphenylphosphinoyloxypiperidin-1-yl)-butyl]-3-pyridin-3-yl-acrylamide;  
 N-[4-(1,4-dioxo-8-azaspiro[4.5]dec-8-yl)-butyl]-3-pyridin-3-yl-acrylamide;  
 N-[4-(2,5-dioxo-3,4-diphenyl-2,5-dihydropyrrol-1-yl)-butyl]-3-pyridin-3-yl-acrylamide;  
 N-[4-(2,6-dioxo-4-phenylpiperidin-1-yl)-butyl]-3-pyridin-3-yl-acrylamide;  
 N-[4-(1,3-dioxo-4,5,6,7-tetraphenyl-1,3-dihydro-isoindol-2-yl)-butyl]-3-pyridin-3-yl-acrylamide;  
 N-[4-(3-benzyl-2,4,5-trioxo-imidazolidin-1-yl)-butyl]-3-pyridin-3-yl-acrylamide;  
 N-[4-(1,3,10-trioxo-1,4,5,6,10,10a-hexahydro-acenaphtho-[1,8a-c]pyrrol-2-yl)-butyl]-3-pyridin-3-yl-acrylamide;  
 N-[4-(2,5-dioxo-4,4-diphenylimidazolidin-1-yl)-butyl]-3-pyridin-3-yl-acrylamide;  
 N-[4-(2,5-dioxo-3-phenyl-2,5-dihydropyrrol-1-yl)-butyl]-3-pyridin-3-yl-acrylamide;  
 N-[3-(2,5-dioxo-3,4-diphenyl-2,5-dihydro-pyrrol-1-yl)-propyl]-3-pyridin-3-yl-acrylamide;  
 N-[4-(3-pyridin-3-yl-acroylamino)-butyl]-2,3:5,6-dibenzobicyclo[2.2.2]octan-7,8-dicarboximide;  
 N-[4-(5-benzyliden-2,4-dioxothiazolidin-3-yl)-butyl]-3-pyridin-3-yl-acrylamide;  
 N-[4-(4-benzyl-2,6-dioxopiperazin-1-yl)-butyl]-3-pyridin-3-yl-acrylamide;  
 N-[6-(2,5-dioxo-3,4-diphenyl-2,5-dihydropyrrol-1-yl)-hexyl]-3-pyridin-3-yl-acrylamide;  
 N-[4-(2,5-dioxo-3,4-diphenyl-2,5-dihydropyrrol-1-yl)-butyl]-3-pyridin-3-yl-propionamide;  
 N-[4-(1,3-dioxo-1,3-dihydro-isoindol-2-yl)-butyl]-3-pyridin-3-yl-acrylamide;  
 N-[4-(1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl)-butyl]-3-(1-oxidopyridin-3-yl)-acrylamide;  
 N-[6-(1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl)-hexyl]-3-pyridin-3-yl-acrylamide;  
 N-[2-(1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl)-ethyl]-3-pyridin-3-yl-acrylamide;  
 N-[4-(1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl)-butyl]-3-pyridin-3-yl-acrylamide;  
 N-[8,8-bis-(4-fluorophenyl)-octyl]-3-pyridin-3-yl-acrylamide hydrochloride;  
 N-[6-(3,3-diphenylureido)-hexyl]-3-pyridin-3-yl-acrylamide;  
 N-[4-(1-phenyl-1,2,4,5-tetrahydrobenzo[d]azepin-3-yl)-butyl]-3-pyridin-3-yl-acrylamide;

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N-(8,8-diphenyloctyl)-3-pyridin-3-yl-acrylamide;  
 N-(8-hydroxy-8,8-diphenyloctyl)-3-pyridin-3-yl-acrylamide;  
 N-[4-(3,3-diphenylureido)-butyl]-3-pyridin-3-yl-acrylamide;  
 N-[4-(1H,3H-benzo[de]isoquinolin-2-yl)-butyl]-3-pyridin-3-yl-acrylamide;  
 N-[6-(10,11-dihydro-dibenzo[b,f]azepin-5-yl-carbonyl-amino)-hexyl]-3-pyridin-3-yl-acrylamide;  
 3-pyridin-3-yl-N-[6-(tosylamino)-hexyl]-acrylamide;  
 N-[4-(1,1-dioxo-1-thia-2-aza-acenaphthylen-2-yl)-butyl]-3-pyridin-3-yl-acrylamide;  
 N-(6-hydroxy-6,6-diphenylhexyl)-3-pyridin-3-yl-acrylamide;  
 N-(6,6-diphenyl-hex-5-enyl)-3-pyridin-3-yl-acrylamide;  
 N-[4-(4,5-diphenylimidazol-1-yl)-butyl]-3-pyridin-3-yl-acrylamide;  
 N-[4-(trans-2-phenylcyclopropylcarbonylamino)butyl]-3-pyridin-3-yl-acrylamide;  
 N-(5-hydroxy-5,5-diphenyl-pentyl)-3-pyridin-3-yl-acrylamide;  
 N-(7-phenylheptyl)-3-pyridin-3-yl-acrylamide;  
 N-(4-diphenylacetyl-amino-butyl)-3-pyridin-3-yl-acrylamide;  
 N-[4-(benzhydrylamino)-butyl]-3-pyridin-3-yl-acrylamide; and  
 N-(4-{[2-(benzhydrylmethylamino)-ethyl]-methylamino}-butyl)-3-pyridin-3-yl-acrylamide.

59. (Previously presented) The composition of claim 57 further comprising a cancerostatic or immunosuppressive agent that is not a compound of formula (I).

60. (Previously presented) The composition of claim 57, wherein the compounds of formula (I) and the compound of formulae (II) to (Vb) are contained separately within the composition.

61. (Previously presented) The composition of claim 57, wherein the compound of formula (I) and the compound of formulae (II) to (Vb) are present in separate dosage forms, and the dosage forms are packaged together for co-administration.

62. (Previously presented) The composition of claim 57 where:

$R^{21}$  is selected from the group consisting of hydrogen, halogen, cyano,  $C_{1-6}$  alkyl, trifluoromethyl,  $C_{1-6}$  hydroxyalkyl, hydroxy,  $C_{1-6}$  alkoxy,  $C_{2-7}$  alkanoyloxy,  $C_{1-6}$  alkylthio,  $C_{1-6}$  aminoalkyl, amino,  $C_{1-6}$  alkylamino, di( $C_{1-6}$  alkyl)amino, formyl, alkoxycarbonyl, aminocarbonyl, ( $C_{1-6}$  alkyl)aminocarbonyl, di( $C_{1-6}$  alkyl)aminocarbonyl, and carboxy;

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R<sup>22</sup> is selected from the group consisting of hydrogen, halogen, C<sub>1-6</sub> alkyl, trifluoromethyl, C<sub>1-6</sub> hydroxyalkyl, hydroxy, alkoxy, C<sub>2-7</sub> alkanoyloxy, C<sub>1-6</sub> aminoalkyl, amino, (C<sub>1-6</sub> alkoxy)carbonyl, aminocarbonyl, and carboxy;

R<sup>23</sup> is selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyl, and C<sub>1-6</sub> hydroxyalkyl;

R<sup>24</sup> is selected from the group consisting of C<sub>1-6</sub> alkyl, C<sub>3-6</sub> alkenyl, C<sub>2-6</sub> hydroxyalkyl, C<sub>2-6</sub> alkoxyalkyl, and benzyl;

R<sup>26</sup> is selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> hydroxyalkyl, C<sub>3-6</sub> alkoxyalkyl, C<sub>1-6</sub> aminoalkyl, C<sub>4-12</sub> dialkylaminoalkyl, and carboxymethyl;

when b is 1, R<sup>27</sup> is selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> hydroxyalkyl, C<sub>3-6</sub> alkoxyalkyl, C<sub>1-6</sub> aminoalkyl, C<sub>4-12</sub> dialkylaminoalkyl, and carboxymethyl; and

when b is 2, R<sup>27</sup> is C<sub>2-10</sub> alkylene in which a methylene group is optionally replaced by O, NH, or N-alkyl.

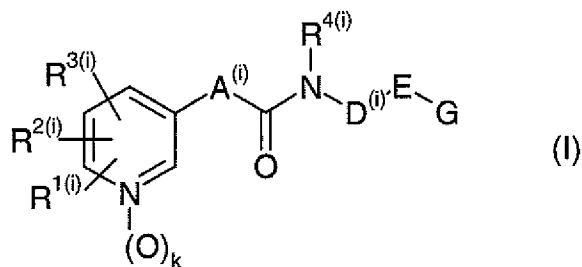
63. (Currently amended) The composition of claim 57 where the compound having vitamin PP activity ~~or an ester thereof~~ is selected from the group consisting of nicotinic acid, nicotinamide, and their pharmaceutically acceptable ester and amide derivatives, pharmaceutical acceptable salts, quaternary, and addition salts, N-oxides and their C=S derivatives and their isomers.

64. (Currently amended) The composition of claim 63 where the compound having vitamin PP activity ~~or an ester thereof~~, is selected from the group consisting of nicotinic acid, nicotinamide, and mixtures thereof.

65. (Withdrawn) The composition of claim 57 where the compound having vitamin PP activity is tryptophan.

66. (Currently amended) A pharmaceutical composition comprising:

(a) at least one compound selected from the group consisting of compounds of formula I:



where:

each of  $R^{1(i)}$ ,  $R^{2(i)}$ ,  $R^{3(i)}$ , and  $R^{4(i)}$  are independently selected from the group consisting of hydrogen, halogen, hydroxy, trifluoromethyl, cyano, aliphatic hydrocarbyl residue optionally substituted with one or more functional groups and optionally interrupted by one or more heteroatoms, and aromatic hydrocarbyl residue; or  $R^{1(i)}$  and  $R^{2(i)}$  together form a bridge;

$k$  is 0 or 1;

$A^{(i)}$  and  $D^{(i)}$  are independently a saturated or unsaturated optionally substituted aliphatic hydrocarbyl residue, optionally interrupted by a heteroatom or a functional group;

$E$  is a bond or is a heterocyclic residue having one or two ring nitrogen atoms or one ring nitrogen atom and one ring oxygen atom, linked to  $D^{(i)}$  and  $G$  through a ring nitrogen atom and a ring carbon atom or through two ring nitrogen atoms; and

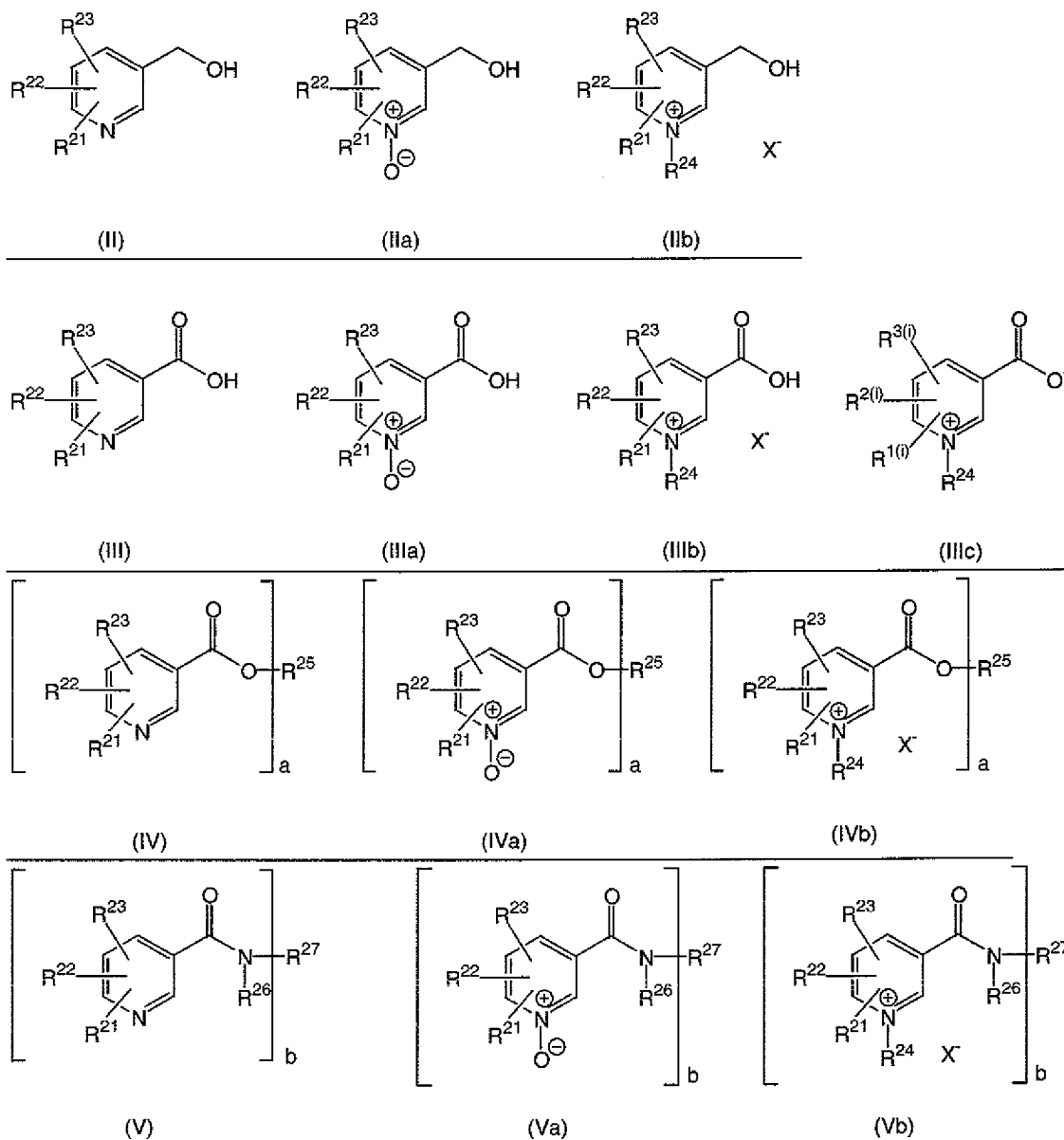
$G$  is selected from the group consisting of hydrogen, an aliphatic or araliphatic residue, an unsaturated or aromatic monocyclic or polycyclic carbocyclic residue, a saturated, unsaturated, or aromatic monocyclic or polycyclic heterocyclic residue, bonded directly or through a functional group derived from a carbon, nitrogen, oxygen, sulfur, or phosphorus atom,

and the stereoisomers or racemic or non-racemic mixtures of stereoisomers thereof,

and the tautomers thereof when  $G$  is a heterocyclic aromatic ring or an aromatic ring substituted by a hydroxy, mercapto, or amino group, and the pharmacologically acceptable acid addition salts thereof;



(b) a compound having vitamin PP activity ~~or an ester thereof~~, which is selected from the group consisting of compounds of formulae II, IIa, IIb, III, IIIa, IIIb, IIIc, IV, IVa, IVb, V, Va, and Vb:



wherein:

**a** is an integer of 1 through 6;

**b** is an integer of 1 through 2;

**X<sup>-</sup>** is selected from the group consisting of fluoride, chloride, bromide, iodide, hydrogensulfate, methanesulfonate, trifluoromethanesulfonate, tosylate, tetrafluoroborate, dihydrogenphosphate, and acetate;

**R<sup>21</sup>** is selected from the group consisting of hydrogen, halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>7</sub>-alkanoyloxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-aminoalkyl, amino, C<sub>1</sub>-C<sub>6</sub>-alkylamino, C<sub>2</sub>-C<sub>12</sub>-dialkylamino, formyl, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, aminocarbonyl, C<sub>2</sub>-C<sub>7</sub>-alkylaminocarbonyl, C<sub>3</sub>-C<sub>13</sub>-dialkylamino-carbonyl and carboxy;

**R<sup>22</sup>** is selected from the group consisting of hydrogen, halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>7</sub>-alkanoyloxy, C<sub>1</sub>-C<sub>6</sub>-aminoalkyl, amino, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, aminocarbonyl, and carboxy;

**R<sup>23</sup>** is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, and C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl;

**R<sup>24</sup>** is selected from the group consisting of C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>2</sub>-C<sub>6</sub>-hydroxyalkyl, C<sub>2</sub>-C<sub>6</sub>-alkoxyalkyl and benzyl;

**R<sup>25</sup>** is such that the alcohol **R<sup>25</sup>(OH)<sub>a</sub>** is selected from monovalent, linear and branched, C<sub>1</sub>-10-alkanols and ω-dialkylaminoalkanols, benzyl alcohol, divalent linear and branched C<sub>2</sub>-10-diols, mono- or divalent C<sub>5</sub>-7-cycloalkanols, C<sub>5</sub>-7-cycloalkanediols, C<sub>5</sub>-7-cycloalkanemethanols, saturated C<sub>5</sub>-7-heterocyclomethanols, glycerin, 2,2-bis(hydroxymethyl)-1-octanol, erythritol, pentaerythritol, arabitol, xylitol, sorbitol, mannitol, isosorbitol, tetra(hydroxymethyl)cyclohexanol, and inositol;

**R<sup>26</sup>** is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, C<sub>3</sub>-C<sub>6</sub>-alkoxyalkyl, C<sub>1</sub>-C<sub>6</sub>-aminoalkyl, C<sub>4</sub>-C<sub>12</sub>-dialkylaminoalkyl and carboxymethyl;

when b is 1,

**R<sup>27</sup>** is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, C<sub>3</sub>-C<sub>6</sub>-alkoxyalkyl, C<sub>1</sub>-C<sub>6</sub>-aminoalkyl, C<sub>4</sub>-C<sub>12</sub>-dialkylaminoalkyl and carboxymethyl;

when b is 2,

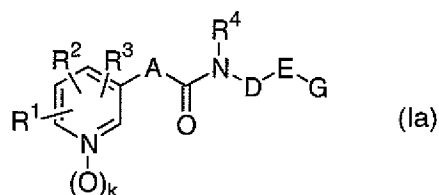
**R<sup>27</sup>** is C<sub>2</sub>-C<sub>10</sub>-alkylene, or C<sub>5</sub>-C<sub>10</sub>-alkylene wherein a methylene group is isosterically replaced by O, NH or N-alkyl;

and their C=S analogs of C=O groups,

and the pharmaceutical acceptable salts thereof; and

(c) at least one physiologically acceptable carrier.

67. (Previously presented): The composition of claim 66 where the compound(s) of formula I are selected from the group consisting of compounds of formula Ia:



where:

$R^1$  is selected from the group consisting of hydrogen, fluorine, methyl, trifluoromethyl, and hydroxy;

$R^2$  and  $R^3$  are each hydrogen;

$R^4$  is hydrogen or hydroxy;

A is selected from the group consisting of ethylene, propylene, or butylene, each optionally substituted with hydroxy or one or two fluorine atoms,  $-OCH_2-$ ,  $-SCH_2-$ , ethenylene, vinylene, and butadienylene;

D is selected from the group consisting of  $C_2$ - $C_6$  alkylene and  $C_2$ - $C_6$  alkenylene, where the double bond may also join D and E;

E is selected from the group consisting of pyrrolidine, piperidine, hexahydroazepine, and morpholine; and

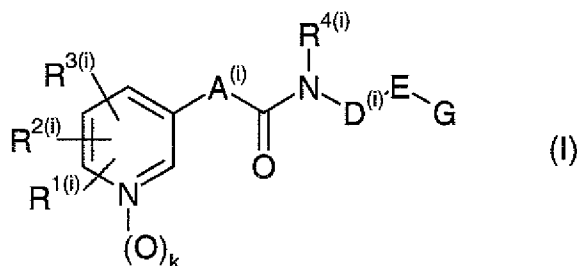
G is selected from the group consisting of benzyl, phenethyl, fluorenylmethyl, anthrylmethyl, diphenylmethyl, fluorenyl, dihydrodibenzocycloheptenyl, furylmethyl, thienylmethyl, thiazolylmethyl, pyridylmethyl, benzothienylmethyl, quinolylmethyl, phenylthienylmethyl, phenylpyridylmethyl, dihydrodibenzoxepinyl, dihydrodibenzothiepinyl, acetyl, pivaloyl, phenylacetyl, diphenylacetyl, diphenylpropionyl, naphthylacetyl, benzoyl, naphthoyl, anthrylcarbonyl, oxofluorenylcarbonyl, oxodihydroanthrylcarbonyl, dioxodihydroanthrylcarbonyl, furoyl, pyridylcarbonyl, chromonylcarbonyl, quinolylcarbonyl, naphthylaminocarbonyl, dibenzylaminocarbonyl, benzylphenylaminocarbonyl, diphenylaminocarbonyl, indolin-1-ylcarbonyl, dihydrodibenzazepinyl-N-carbonyl, tetrahydroquinolinyl-N-carbonyl, tetrahydrobenzazepinyl-N-carbonyl, methanesulfonyl, benzenesulfonyl, p-toluenesulfonyl, naphthalenesulfonyl, quinolinesulfonyl, and diphenylphosphinoyl, where each aromatic ring system may be independently substituted with one to three

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substituents selected independently from the group consisting of halogen, cyano, C<sub>1</sub>-C<sub>6</sub> alkyl, trifluoromethyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, phenyl, benzyl, hydroxy, C<sub>1</sub>-C<sub>6</sub> alkoxy (optionally partially or completely fluorinated), benzyloxy, phenoxy, mercapto, C<sub>1</sub>-C<sub>6</sub> alkylthio, carboxy, C<sub>1</sub>-C<sub>6</sub> alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, C<sub>1</sub>-C<sub>6</sub> alkylamino, and di(C<sub>1</sub>-C<sub>6</sub> alkyl)amino, or two adjacent substituents together form methylenedioxy.

68. (Previously presented) A pharmaceutical composition comprising:

(a) at least one compound selected from the group consisting of compounds of formula I:



where:

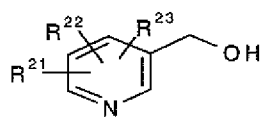
each of R<sup>1(i)</sup>, R<sup>2(i)</sup>, R<sup>3(i)</sup>, and R<sup>4(i)</sup> are independently selected from the group consisting of hydrogen, halogen, hydroxy, trifluoromethyl, cyano, aliphatic hydrocarbyl residue optionally substituted with one or more functional groups and optionally interrupted by one or more heteroatoms, and aromatic hydrocarbyl residue; or R<sup>1(i)</sup> and R<sup>2(i)</sup> together form a bridge;

k is 0 or 1;

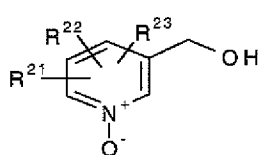
A<sup>(i)</sup> and D<sup>(i)</sup> are independently a saturated or unsaturated optionally substituted aliphatic hydrocarbyl residue, optionally interrupted by a heteroatom or a functional group;

E is a bond or is a heterocyclic residue having one or two ring nitrogen atoms or one ring nitrogen atom and one ring oxygen atom, linked to D<sup>(i)</sup> and G through a ring nitrogen atom and a ring carbon atom or through two ring nitrogen atoms; and

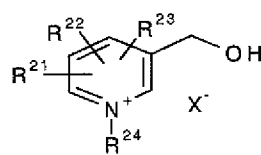
G is selected from the group consisting of hydrogen, an aliphatic or araliphatic residue, an unsaturated or aromatic monocyclic or polycyclic carbocyclic residue, a



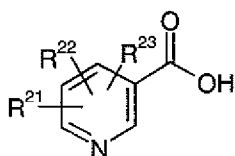
(II)



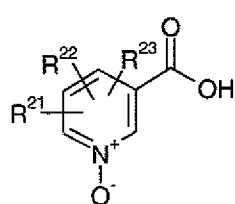
(IIa)



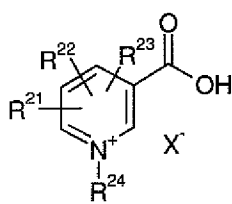
(IIb)



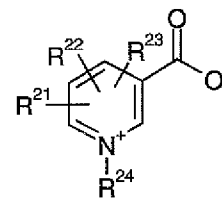
(III)



(IIIa)



(IIIb)

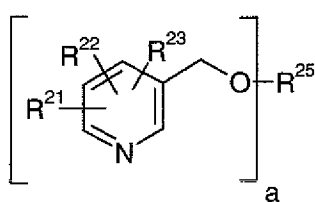


(IIIc)

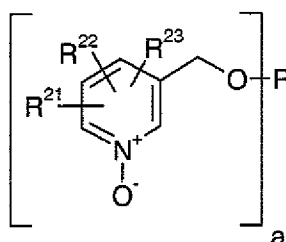
saturated, unsaturated, or aromatic monocyclic or polycyclic heterocyclic residue, bonded directly or through a functional group derived from a carbon, nitrogen, oxygen, sulfur, or phosphorus atom,

and the stereoisomers or racemic or non-racemic mixtures of stereoisomers thereof,

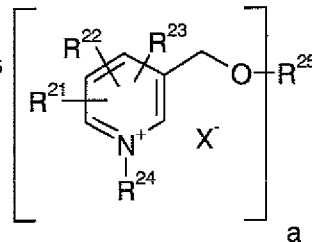
and the tautomers thereof when G is a heterocyclic aromatic ring or an aromatic



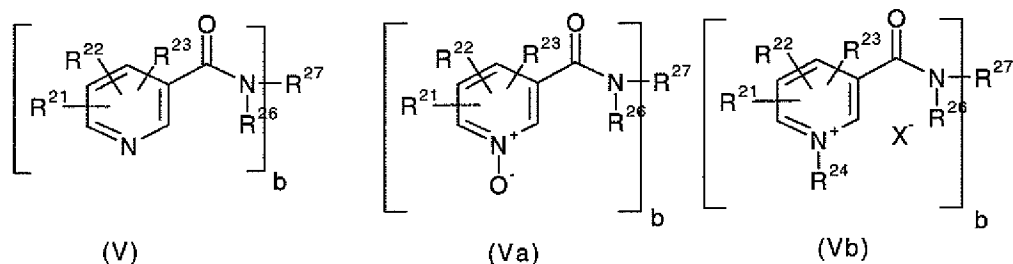
(IV)



(IVa)



(IVb)



ring substituted by a hydroxy, mercapto, or amino group,

and the pharmacologically acceptable acid addition salts thereof;

(b) at least one compound selected from the group consisting of compounds of formulae II, IIa, IIb, III, IIIa, IIIb, IIIc, IV, IVa, IVb, V, Va, and Vb:

where:

a is an integer of 1 through 6;

b is an integer of 1 through 2;

X<sup>-</sup> is selected from the group consisting of fluoride, chloride, bromide, iodide, hydrogensulfate, mesylate, trifluoromethanesulfonate, tosylate, tetrafluoroborate, dihydrogenphosphate, and acetate;

R<sup>21</sup> is selected from the group consisting of hydrogen, halogen, cyano, alkyl, trifluoromethyl, hydroxyalkyl, hydroxy, alkoxy, alkanoyloxy, alkylthio, aminoalkyl, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, and carboxy;

R<sup>22</sup> is selected from the group consisting of hydrogen, halogen, alkyl, trifluoromethyl, hydroxyalkyl, hydroxy, alkoxy, alkanoyloxy, aminoalkyl, amino, alkoxycarbonyl, aminocarbonyl, and carboxy;

R<sup>23</sup> is selected from the group consisting of hydrogen, alkyl, and hydroxyalkyl;

R<sup>24</sup> is selected from the group consisting of alkyl, alkenyl, hydroxyalkyl, alkoxyalkyl, and aralkyl;

R<sup>25</sup> is the residue of an alcohol R<sup>25</sup>(OH)<sub>a</sub> selected from monovalent linear and branched C<sub>1-10</sub> alkanols and ω-dialkylaminoalkanols, benzyl alcohol, divalent linear and branched C<sub>2-10</sub> diols, mono- or divalent C<sub>5-7</sub> cycloalkanols, C<sub>5-7</sub> cycloalkanediols, C<sub>5-7</sub>

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cycloalkanemethanols, saturated C<sub>5-7</sub> heterocyclomethanols, tri-, tetra-, penta-, and hexavalent linear, branched, and cyclic alcohols with 3 to 10 carbon atoms, glycerin, 2,2-bis(hydroxymethyl)-1-octanol, erythritol, pentaerythritol, arabitol, xylitol, sorbitol, mannitol, isosorbitol, tetra(hydroxymethyl)cyclohexanol, and inositol;

R<sup>26</sup> is selected from the group consisting of hydrogen, alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, dialkylaminoalkyl, and carboxymethyl;

when b is 1, R<sup>27</sup> is selected from the group consisting of hydrogen, alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, dialkylaminoalkyl, and carboxymethyl;

when b is 2, R<sup>27</sup> is alkylene in which a methylene group is optionally replaced by O, NH, or N-alkyl;

and the C=S analogs of C=O groups,

and the acid addition salts or the sodium, potassium, magnesium, calcium or aluminum salts thereof; and

(c) at least one physiologically acceptable carrier.

69. (Previously presented) The composition of claim 57, which is:

in a solid, peroral administrable form as a tablet, capsule, coated tablet, optionally as sustained action or gastric fluid-resistant preparation, as a liquid medicinal form, peroral administrable solution, suspension, effervescent tablet, in the form of tabs or sachets, optionally in sustained action form,

in the form of a suitable injection or infusion preparation together with suitable pharmaceutically acceptable carriers and adjuvants, optionally in sustained action form or as a parenteral depot medicinal form or implant, in the form of a concentrate, powder or lyophilisate,

in the form of an inhalation therapeutic agent, in the form of a spray together with suitable pharmaceutically acceptable propellants, carriers and adjuvants,

in the form of a transdermal therapeutic system for systemic treatment,

in the form of a gastrointestinal therapeutic system (GITS) for systemic treatment,

in the form of a salve, suspension, emulsion, a balm or plaster or in the form of an externally applicable solution,

in the form of a rectal, genital, or transurethral administrable emulsion, a solution, a liposomal solution, an implant, suppository or a capsule.

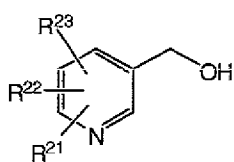
in the form of a nasally, otologically or ophthalmologically applicable composition, or  
in a buccally applicable form.

70. (Previously presented) The composition of claim 57 for administration by means of a controlled dosage aerosol or in the form of a dry powder dosage formulation.

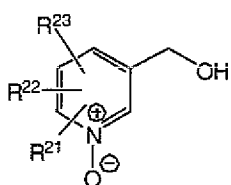
71. (Previously presented) The composition of claim 57, wherein a dosage unit for individual administration contains 0.001 to 1000, 2000, 3000, 4000 or 5000 mg of the compound(s) according to formula (I).

72. (Withdrawn) A method for reducing side effects or neutralizing the side effects of a cancerostatic or immunosuppressive agent administered prophylactically or therapeutically to a patient, comprising administering to the patient a compound having vitamin PP activity or an ester thereof.

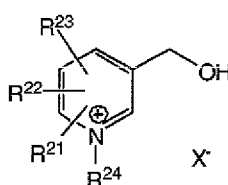
73. (Withdrawn) The method of claim 72 where the compound having vitamin PP activity or an ester thereof is selected from the group consisting of compounds of formulae II, IIa, IIb, III, IIIa, IIIb, IIIc, IV, IVa, IVb, V, Va, and Vb:



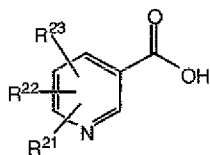
(II)



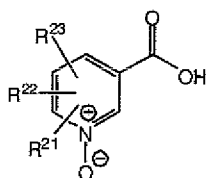
(IIa)



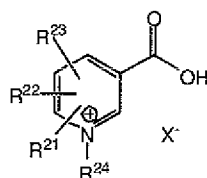
(IIb)



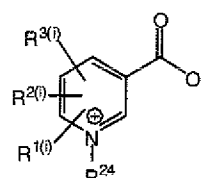
(III)



(IIIa)

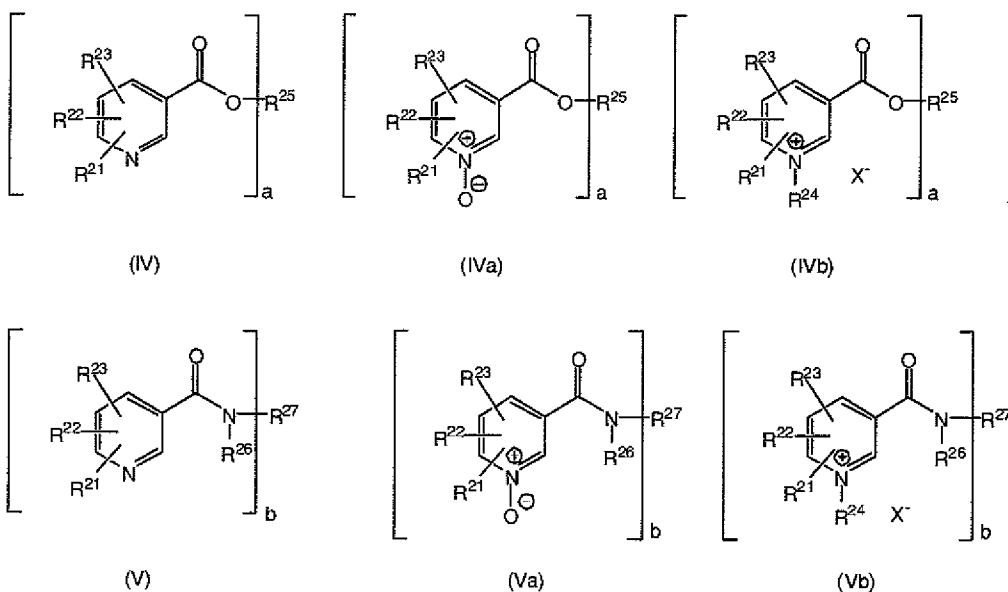


(IIIb)



(IIIc)





wherein:

- a** is an integer of 1 through 6;
- b** is an integer of 1 through 2;
- X<sup>-</sup>** is selected from the group consisting of fluoride, chloride, bromide, iodide, hydrogensulfate, methanesulfonate, trifluoromethanesulfonate, tosylate, tetrafluoroborate, dihydrogenphosphate, and acetate;
- R<sup>21</sup>** is selected from the group consisting of hydrogen, halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>7</sub>-alkanoyloxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-aminoalkyl, amino, C<sub>1</sub>-C<sub>6</sub>-alkylamino, C<sub>2</sub>-C<sub>12</sub>-dialkylamino, formyl, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, aminocarbonyl, C<sub>2</sub>-C<sub>7</sub>-alkylaminocarbonyl, C<sub>3</sub>-C<sub>13</sub>-dialkylamino-carbonyl and carboxy;
- R<sup>22</sup>** is selected from the group consisting of hydrogen, halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>7</sub>-alkanoyloxy, C<sub>1</sub>-C<sub>6</sub>-aminoalkyl, amino, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, aminocarbonyl, and carboxy;
- R<sup>23</sup>** is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, and C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl;
- R<sup>24</sup>** is selected from the group consisting of C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>2</sub>-C<sub>6</sub>-hydroxyalkyl, C<sub>2</sub>-C<sub>6</sub>-alkoxyalkyl and benzyl;
- R<sup>25</sup>** is such that the alcohol **R<sup>25</sup>(OH)<sub>a</sub>** is selected from monovalent, linear and branched, C<sub>1</sub>-10-alkanols and ω-dialkylaminoalkanols, benzyl alcohol, divalent linear and branched C<sub>2</sub>-10-diols, mono- or divalent C<sub>5</sub>-7-cycloalkanols, C<sub>5</sub>-7-cycloalkanediols, C<sub>5</sub>-7-cycloalkanemethanols, saturated C<sub>5</sub>-

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7-heterocyclomethanols, glycerin, 2,2-bis(hydroxymethyl)-1-octanol, erythritol, pentaerythritol, arabitol, xylitol, sorbitol, mannitol, isosorbitol, tetra(hydroxymethyl)cyclohexanol and inositol;

**R<sup>26</sup>** is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, C<sub>3</sub>-C<sub>6</sub>-alkoxyalkyl, C<sub>1</sub>-C<sub>6</sub>-aminoalkyl, C<sub>4</sub>-C<sub>12</sub>-dialkylaminoalkyl and carboxymethyl;

when b is 1,

**R<sup>27</sup>** is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, C<sub>3</sub>-C<sub>6</sub>-alkoxyalkyl, C<sub>1</sub>-C<sub>6</sub>-aminoalkyl, C<sub>4</sub>-C<sub>12</sub>-dialkylaminoalkyl and carboxymethyl;

when b is 2,

**R<sup>27</sup>** is C<sub>2</sub>-C<sub>10</sub>-alkylene, or C<sub>5</sub>-C<sub>10</sub>-alkylene wherein a methylene group is isosterically replaced by O, NH or N-alkyl;

and their C=S analogs of C=O groups,

and the pharmaceutical acceptable salts thereof.

74. (Withdrawn) The method of claim 73 where:

**R<sup>21</sup>** is selected from the group consisting of hydrogen, halogen, cyano, C<sub>1-6</sub> alkyl, trifluoromethyl, C<sub>1-6</sub> hydroxyalkyl, hydroxy, C<sub>1-6</sub> alkoxy, C<sub>2-7</sub> alkanoyloxy, C<sub>1-6</sub> alkylthio, C<sub>1-6</sub> aminoalkyl, amino, C<sub>1-6</sub> alkylamino, di(C<sub>1-6</sub> alkyl)amino, formyl, alkoxycarbonyl, aminocarbonyl, (C<sub>1-6</sub> alkyl)aminocarbonyl, di(C<sub>1-6</sub> alkyl)aminocarbonyl, and carboxy;

**R<sup>22</sup>** is selected from the group consisting of hydrogen, halogen, C<sub>1-6</sub> alkyl, trifluoromethyl, C<sub>1-6</sub> hydroxyalkyl, hydroxy, alkoxy, C<sub>2-7</sub> alkanoyloxy, C<sub>1-6</sub> aminoalkyl, amino, (C<sub>1-6</sub> alkoxy)carbonyl, aminocarbonyl, and carboxy;

**R<sup>23</sup>** is selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyl, and C<sub>1-6</sub> hydroxyalkyl;

**R<sup>24</sup>** is selected from the group consisting of C<sub>1-6</sub> alkyl, C<sub>3-6</sub> alkenyl, C<sub>2-6</sub> hydroxyalkyl, C<sub>2-6</sub> alkoxyalkyl, and benzyl;

**R<sup>26</sup>** is selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> hydroxyalkyl, C<sub>3-6</sub> alkoxyalkyl, C<sub>1-6</sub> aminoalkyl, C<sub>4-12</sub> dialkylaminoalkyl, and carboxymethyl;

when b is 1,  $R^{27}$  is selected from the group consisting of hydrogen,  $C_{1-6}$  alkyl,  $C_{1-6}$  hydroxyalkyl,  $C_{3-6}$  alkoxyalkyl,  $C_{1-6}$  aminoalkyl,  $C_{4-12}$  dialkylaminoalkyl, and carboxymethyl; and

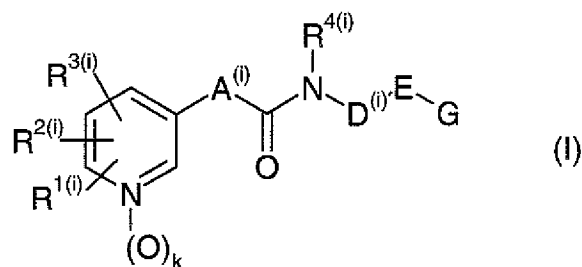
when b is 2,  $R^{27}$  is  $C_{2-10}$  alkylene in which a methylene group is optionally replaced by O, NH, or N-alkyl.

75. (Withdrawn) The method of claim 74 where the compound having vitamin PP activity or an ester thereof is selected from the group consisting of nicotinic acid, nicotinamide, and their pharmaceutically acceptable ester and amide derivatives, pharmaceutical acceptable salts, quaternary, and addition salts, N-oxides and their C=S derivatives, their isomers.

76. (Withdrawn) The composition of claim 75 where the compound having vitamin PP activity or an ester thereof is selected from the group consisting of nicotinic acid, nicotinamide, and mixtures thereof.

77. (Withdrawn) The method of claim 73 where the compound having vitamin PP activity is tryptophan.

78. (Withdrawn) The method of Claim 72 where the cancerostatic or immunosuppressive agent is selected from the group consisting of compounds of formula I:



where:

each of  $R^{1(i)}$ ,  $R^{2(i)}$ ,  $R^{3(i)}$ , and  $R^{4(i)}$  are independently selected from the group consisting of hydrogen, halogen, hydroxy, trifluoromethyl, cyano, aliphatic hydrocarbyl residue optionally substituted with one or more functional groups and optionally

interrupted by one or more heteroatoms, and aromatic hydrocarbyl residue; or  $R^{1(i)}$  and  $R^{2(i)}$  together form a bridge;

k is 0 or 1;

$A^{(i)}$  and  $D^{(i)}$  are independently a saturated or unsaturated optionally substituted aliphatic hydrocarbyl residue, optionally interrupted by a heteroatom or a functional group;

E is a bond or is a heterocyclic residue having one or two ring nitrogen atoms or one ring nitrogen atom and one ring oxygen atom, linked to  $D^{(i)}$  and G through a ring nitrogen atom and a ring carbon atom or through two ring nitrogen atoms; and

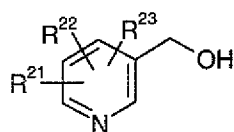
G is selected from the group consisting of hydrogen, an aliphatic or araliphatic residue, an unsaturated or aromatic monocyclic or polycyclic carbocyclic residue, a saturated, unsaturated, or aromatic monocyclic or polycyclic heterocyclic residue, bonded directly or through a functional group derived from a carbon, nitrogen, oxygen, sulfur, or phosphorus atom,

and the stereoisomers or racemic or non-racemic mixtures of stereoisomers thereof,

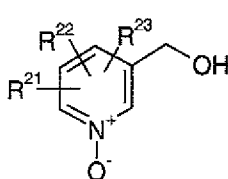
and the tautomers thereof when G is a heterocyclic aromatic ring or an aromatic ring substituted by a hydroxy, mercapto, or amino group,

and the pharmacologically acceptable acid addition salts thereof;

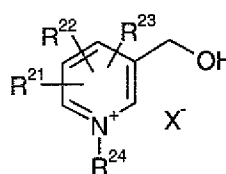
(b) at least one compound selected from the group consisting of compounds of



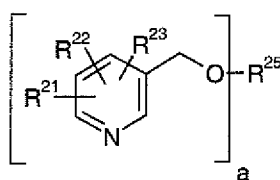
(II)



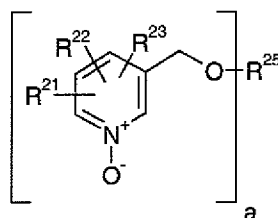
(IIa)



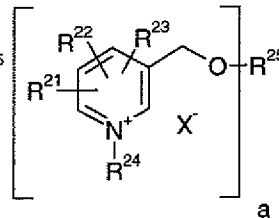
(IIb)



(IV)



(IVa)



(IVb)

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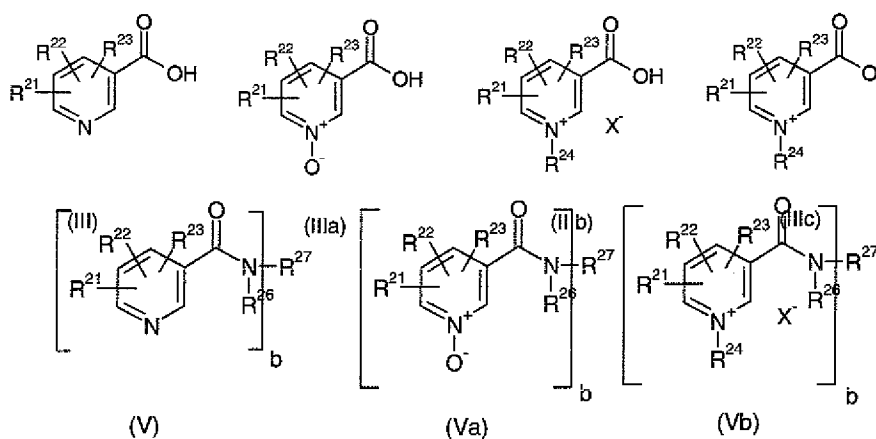
formulae II, IIa, IIb, III, IIIa, IIIb, IIIc, IV, IVa, IVb, V, Va, and Vb:

where:

a is an integer of 1 through 6;

b is an integer of 1 through 2;

X<sup>-</sup> is selected from the group consisting of fluoride, chloride, bromide, iodide, hydrogensulfate, mesylate, trifluoromethanesulfonate, tosylate, tetrafluoroborate,



dihydrogenphosphate, and acetate;

R<sup>21</sup> is selected from the group consisting of hydrogen, halogen, cyano, alkyl, trifluoromethyl, hydroxyalkyl, hydroxy, alkoxy, alkanoyloxy, alkylthio, aminoalkyl, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, and carboxy;

R<sup>22</sup> is selected from the group consisting of hydrogen, halogen, alkyl, trifluoromethyl, hydroxyalkyl, hydroxy, alkoxy, alkanoyloxy, aminoalkyl, amino, alkoxycarbonyl, aminocarbonyl, and carboxy;

R<sup>23</sup> is selected from the group consisting of hydrogen, alkyl, and hydroxyalkyl;

$R^{24}$  is selected from the group consisting of alkyl, alkenyl, hydroxyalkyl, alkoxyalkyl, and aralkyl;

$R^{25}$  is the residue of an alcohol  $R^{25}(OH)_a$  selected from monovalent linear and branched  $C_{1-10}$  alkanols and  $\omega$ -dialkylaminoalkanols, benzyl alcohol, divalent linear and branched  $C_{2-10}$  diols, mono- or divalent  $C_{5-7}$  cycloalkanols,  $C_{5-7}$  cycloalkanediols,  $C_{5-7}$  cycloalkanemethanols, saturated  $C_{5-7}$  heterocyclomethanols, tri-, tetra-, penta-, and hexavalent linear, branched, and cyclic alcohols with 3 to 10 carbon atoms, glycerin, 2,2-bis(hydroxymethyl)-1-octanol, erythritol, pentaerythritol, arabitol, xylitol, sorbitol, mannitol, isosorbitol, tetra(hydroxymethyl)cyclohexanol, and inositol;

$R^{26}$  is selected from the group consisting of hydrogen, alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, dialkylaminoalkyl, and carboxymethyl;

when b is 1,  $R^{27}$  is selected from the group consisting of hydrogen, alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, dialkylaminoalkyl, and carboxymethyl;

when b is 2,  $R^{27}$  is alkylene in which a methylene group is optionally replaced by O, NH, or N-alkyl;

and the C=S analogs of C=O groups,

and the acid addition salts or the sodium, potassium, magnesium, calcium or aluminum salts thereof; and

(c) at least one physiologically acceptable carrier.

79. (Withdrawn) The method of claim 72 where the cancerostatic or immunosuppressive agent is selected from the group consisting of  
N-[2-(1-benzylpiperidin-4-yl)ethyl]-3-(pyridin-3-yl)propionamide;  
N-{2-[1-(2-phenylethyl)piperidin-4-yl]ethyl}-3-(pyridin-3-yl)-propionamide;  
N-{2-[1-(4-phenylbutyl)piperidin-4-yl]ethyl}-3-(pyridin-3-yl)-propionamide;  
N-{2-[1-(4-hydroxy-4-phenylbutyl)piperidin-4-yl]ethyl}-3-(pyridin-3-yl)propionamide;  
N-[2-(1-diphenylmethylpiperidin-4-yl)ethyl]-3-(pyridin-3-yl)-propionamide,  
N-[3-(1-diphenylmethylpiperidin-4-yl)propyl]-3-(pyridin-3-yl)propionamide;  
N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)propionamide;  
N-[4-(1-benzylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)acrylamide;  
N-{4-[1-(2-phenylethyl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)-acrylamide;

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N-{4-[1-(4-biphenylmethyl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)acrylamide;  
 N-{4-[1-(1-naphthylmethyl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)acrylamide;  
 N-{4-[1-(9-anthrylmethyl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)acrylamide;  
 N-{4-[1-(cyclohexylphenylmethyl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)acrylamide;  
 N-{4-[1-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)acrylamide;  
 N-[2-(1-diphenylmethylpiperidin-4-yl)ethyl]-3-(pyridin-3-yl)acrylamide;  
 N-[3-(1-diphenylmethylpiperidin-4-yl)propyl]-3-(pyridin-3-yl)acrylamide;  
 N-[5-(1-diphenylmethylpiperidin-4-yl)pentyl]-3-(pyridin-3-yl)acrylamide;  
 N-[6-(1-diphenylmethylpiperidin-4-yl)hexyl]-3-(pyridin-3-yl)acrylamide;  
 N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-5-(pyridin-3-yl)-2,4-pentadienic acid amide;  
 N-(4-{1-[bis(4-fluorophenyl)methyl]piperidin-4-yl}butyl)-3-(pyridin-3-yl)acrylamide;  
 N-(4-{1-[bis(2-chlorophenyl)methyl]piperidin-4-yl}butyl)-3-(pyridin-3-yl)acrylamide;  
 N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(2-fluoro-pyridin-3-yl)acrylamide;  
 N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(6-fluoro-pyridin-3-yl)acrylamide;  
 N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)acrylamide;  
 N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)acrylamide dihydrochloride;  
 N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)acrylamide methanesulfonate;  
 N-[4-(1-acetylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)propionamide;  
 N-[4-(1-benzoylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)propionamide;  
 N-[4-(1-diphenylacetylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)propionamide;  
 N-{4-[1-(9-oxo-9H-fluoren-4-carbonyl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)propionamide;  
 N-[4-(1-methylsulfonylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)propionamide;  
 N-{4-[1-(2-naphthylsulfonyl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)propionamide;  
 N-[4-(1-benzylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)propionamide;  
 N-(4-{1-[bis(2-chlorophenyl)methyl]piperidin-4-yl}butyl)-3-(pyridin-3-yl)propionamide;  
 N-{4-[1-(phenylpyridin-3-ylmethyl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)propionamide;  
 N-{4-[1-(9H-fluoren-9-yl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)propionamide;

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N-{4-[1-(6,11-dihydrodibenzo[b,e]oxepin-11-yl)piperidin-4-yl]-butyl}-3-(pyridin-3-yl)propionamide;  
 N-{4-[1-(1-naphthylaminocarbonyl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)propionamide;  
 N-[4-(1-diphenylaminocarbonylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)propionamide;  
 N-{4-[1-(10,11-dihydrodibenzo[b,f]azepin-5-yl-carbonyl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)propionamide;  
 N-[4-(1-diphenylphosphinoylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)propionamide;  
 N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(2-fluoropyridin-3-yl)propionamide;  
 N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(5-fluoropyridin-3-yl)propionamide;  
 N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-2-fluoro-3-(pyridin-3-yl)propionamide;  
 N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-2,2-difluoro-3-(pyridin-3-yl)propionamide;  
 N-[5-(1-diphenylmethylpiperidin-4-yl)pentyl]-3-(pyridin-3-yl)propionamide;  
 N-[6-(1-diphenylmethylpiperidin-4-yl)hexyl]-3-(pyridin-3-yl)propionamide;  
 N-[2-(1-diphenylmethylpiperidin-4-yl)ethyl]-5-(pyridin-3-yl)pentanoic acid amide;  
 N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-5-(pyridin-3-yl)pentanoic acid amide;  
 N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-N-hydroxy-3-(pyridin-3-yl)propionamide;  
 N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-2-hydroxy-3-(pyridin-3-yl)propionamide;  
 N-{4-(1-diphenylmethylpiperidin-4-yl)butyl}-3-hydroxy-3-(pyridin-3-yl)propionamide;  
 N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)propionamide;  
 N-[4-(1-methylsulfonylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)acrylamide;  
 N-{4-[1-(2-naphthylsulfonyl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)acrylamide;  
 N-{4-[1-(2-naphthylsulfonyl)piperidin-4-yl]butyl}-5-(pyridin-3-yl)-2,4-pentadienic acid amide;  
 N-{4-[1-(1-naphthylaminocarbonyl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)acrylamide;  
 N-[4-(1-diphenylaminocarbonylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)acrylamide;  
 N-[4-(1-diphenylaminocarbonylpiperidin-4-yl)butyl]-5-(pyridin-3-yl)-2,4-pentadienic acid amide;  
 N-{4-[1-(10,11-dihydrodibenzo[b,f]azepin-5-yl-carbonyl)piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-acrylamide;  
 N-[4-(1-diphenylphosphinoylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)acrylamide;  
 N-[4-(1-acetylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)acrylamide;

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N-[4-(1-diphenylacetyl)piperidin-4-yl]-butyl]-3-(pyridin-3-yl)acrylamide;  
 N-{4-[1-(3,3-diphenylpropionyl)piperidin-4-yl]-butyl}-3-(pyridin-3-yl)acrylamide;  
 N-[4-(1-benzoylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)acrylamide;  
 N-[4-(1-benzoylpiperidin-4-yl)butyl]-5-(pyridin-3-yl)-2,4-pentadienic acid amide;  
 N-{4-[1-(9-oxo-9H-fluoren-4-ylcarbonyl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)acrylamide;  
 N-{4-[1-(phenylpyridin-3-ylmethyl)piperidin-4-yl]-butyl}-3-(pyridin-3-yl)acrylamide;  
 N-{4-[1-(phenylpyridin-4-ylmethyl)piperidin-4-yl]-butyl}-3-(pyridin-3-yl)acrylamide;  
 N-{4-[1-(6,11-dihydrodibenzo[b,e]oxepin-11-yl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)acrylamide;  
 N-{4-[1-(6,11-dihydrodibenzo[b,e]thiepin-11-yl)piperidin-4-yl]-butyl}-3-(pyridin-3-yl)acrylamide;  
 N-[7-(1-diphenylmethylpiperidin-4-yl)heptyl]-3-(pyridin-3-yl)acrylamide;  
 N-[8-(1-diphenylmethylpiperidin-4-yl)octyl]-3-(pyridin-3-yl)acrylamide;  
 N-[3-(1-diphenylmethylpiperidin-4-yloxy)propyl]-3-(pyridin-3-yl)acrylamide;  
 N-[3-(1-benzylpiperidin-4-yloxy)propyl]-3-(pyridin-3-yl)acrylamide;  
 N-[2-(1-diphenylmethylpiperidin-4-yl)ethyl]-5-(pyridin-3-yl)-2,4-pentadienic acid amide;  
 N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-5-(pyridin-3-yl)-2,4-pentadienic acid amide;  
 N-[5-(1-diphenylmethylpiperidin-4-yl)pentyl]-5-(pyridin-3-yl)-2,4-pentadienic acid amide;  
 N-[6-(1-diphenylmethylpiperidin-4-yl)hexyl]-5-(pyridin-3-yl)-2,4-pentadienic acid amide;  
 N-[4-(4-diphenylmethylpiperazin-1-yl)-3-hydroxybutyl]-3-(pyridin-3-yl)acrylamide;  
 N-[3-(4-diphenylmethylpiperazin-1-yl)propoxy]-3-(pyridin-3-yl)acrylamide;  
 N-[4-(4-diphenylmethylpiperazin-1-yl)-4-oxobutyl]-3-(pyridin-3-yl)acrylamide;  
 N-[3-(4-diphenylmethylpiperazin-1-sulfonyl)propyl]-3-(pyridin-3-yl)acrylamide;  
 N-{2-[2-(4-diphenylmethylpiperazin-1-yl)ethoxy]ethyl}-3-(pyridin-3-yl)acrylamide;  
 N-(4-{4-[bis(4-fluorophenyl)methyl]piperazin-1-yl}but-2-enyl)-3-(pyridin-3-yl)acrylamide;  
 N-(4-{4-[(4-carboxyphenyl)phenylmethyl]piperazin-1-yl}butyl)-3-(pyridin-3-

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yl)acrylamide;

N-(4-{4-[(4-aminophenyl)phenylmethyl]piperazin-1-yl}butyl)-3-(pyridin-3-yl)acrylamide;

N-{4-[4-(9H-fluoren-9-yl)piperazin-1-yl]butyl}-2-(pyridin-3-yloxy)acetamide;

N-{5-[4-(9H-fluoren-9-yl)piperazin-1-yl]pentyl}-3-(pyridin-3-yl)acrylamide;

N-{6-[4-(9H-fluoren-9-yl)piperazin-1-yl]hexyl}-3-(pyridin-3-yl)acrylamide;

3-(pyridin-3-yl)-N-{4-[4-(1,2,3,4-tetrahydronaphthalen-1-yl)piperazin-1-yl]butyl}acrylamide;

3-(pyridin-3-yl)-N-{4-[4-(5,6,7,8-tetrahydronaphthalen-1-yl)piperazin-1-yl]butyl}acrylamide;

N-{4-[4-{naphthalen-1-yl}piperazin-1-yl]butyl}-3-(pyridin-3-yl)acrylamide;

N-[4-(4-biphenyl-2-ylpiperazin-1-yl)butyl]-3-(pyridin-3-yl)propionamide;

N-[5-(4-biphenyl-2-ylpiperazin-1-yl)pentyl]-3-(pyridin-3-yl)acrylamide;

N-[6-(4-biphenyl-2-ylpiperazin-1-yl)hexyl]-3-(pyridin-3-yl)acrylamide;

N-[4-(4-biphenyl-2-ylpiperazin-1-yl)butyl]-2-(pyridin-3-yloxy)acetamide;

N-[4-(4-biphenyl-2-ylpiperazin-1-yl)butyl]-5-(pyridin-3-yl)-2,4-pentadienic acid amide;

N-{4-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)piperazin-1-yl]butyl}-3-(pyridin-3-yl)propionamide;

N-{5-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)piperazin-1-yl]pentyl}-3-(pyridin-3-yl)acrylamide;

N-{6-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)piperazin-1-yl]hexyl}-3-(pyridin-3-yl)acrylamide;

N-{4-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)piperazin-1-yl]butyl}-5-(pyridin-3-yl)-2,4-pentadienic amide;

N-{4-[4-(6,11-dihydrodibenzo[b,e]oxepin-11-yl)piperazin-1-yl]butyl}-3-(pyridin-3-yl)propionamide;

N-{2-[4-(6,11-dihydrodibenzo[b,e]thiepin-11-yl)piperazin-1-yl]ethyl}-3-(pyridin-3-yl)acrylamide;

N-[4-(4-diphenylacetyl)piperazin-1-yl]butyl]-3-(pyridin-3-yl)acrylamide;

N-[4-(4-benzoylpiperazin-1-yl)butyl]-3-(pyridin-3-yl)acrylamide;

N-{4-[4-(2-aminobenzoyl)piperazin-1-yl]butyl}-3-(pyridin-3-yl)acrylamide;

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N-{4-[4-(4-carboxybenzoyl)piperazin-1-yl]butyl}-3-(pyridin-3-yl)acrylamide;  
 N-{4-[4-(biphenyl-2-carbonyl)piperazin-1-yl]butyl}-3-(pyridin-3-yl)acrylamide;  
 N-{4-[4-(9-oxo-9H-fluoren-4-carbonyl)piperazin-1-yl]butyl}-3-(pyridin-3-yl)acrylamide;  
 N-{4-[4-(furan-2-carbonyl)piperazin-1-yl]butyl}-3-(pyridin-3-yl)acrylamide;  
 N-{4-[4-(naphthalen-1-ylaminocarbonyl)piperazin-1-yl]butyl}-3-(pyridin-3-yl)propionamide;  
 N-{4-[4-(diphenylaminocarbonyl)piperazin-1-yl]butyl}-3-(pyridin-3-yl)acrylamide;  
 N-{4-[4-(naphthalen-2-sulfonyl)piperazin-1-yl]butyl}-3-(pyridin-3-yl)acrylamide;  
 N-[4-(4-diphenylphosphinonylpiperazin-1-yl)butyl]-3-(pyridin-3-yl)acrylamide;  
 N-[4-(4-biphenyl-2-ylpiperazin-1-yl)butyl]-3-(pyridin-3-yl)acrylamide;  
 N-{4-[4-(9H-fluoren-9-yl)piperazin-1-yl]butyl}-3-(pyridin-3-yl)acrylamide;  
 N-{4-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)piperazin-1-yl]butyl}-3-(pyridin-3-yl)acrylamide;  
 N-[4-(4-phenylpiperidin-1-yl)-butyl]-3-(pyridin-3-yl)acrylamide;  
 N-{4-[4-(1H-indol-3-yl)piperidin-1-yl]butyl}-3-(pyridin-3-yl)acrylamide;  
 N-{4-[4-(2-oxo-2,3-dihydrobenzimidazol-1-yl)piperidin-1-yl]butyl}-3-(pyridin-3-yl)acrylamide;  
 N-[4-(4-benzotriazol-1-ylpiperidin-1-yl)butyl]-3-(pyridin-3-yl)acrylamide;  
 N-{4-[4-(hydroxydiphenylmethyl)piperidin-1-yl]butyl}-2-(pyridin-3-yloxy)acetamide;  
 N-[4-(4,4-diphenylpiperidin-1-yl)butyl]-3-(pyridin-3-yl)acrylamide;  
 N-{4-[4-(6,11-dihydrodibenzo[b,e]thiepin-11-yliden)piperidin-1-yl]butyl}-3-(pyridin-3-yl)propionamide dihydrochloride semi-isopropanol;  
 N-{4-[4-(6,11-dihydrodibenzo[b,e]thiepin-11-yliden)piperidin-1-yl]butyl}-5-(pyridin-3-yl)pentanamide;  
 N-{4-[4-(4,9-dihydrothieno[2,3-b]benzo[e]thiepin-4-yliden)piperidin-1-yl]butyl}-3-(pyridin-3-yl)propionamide;  
 N-{4-[4-(4,9-dihydrothieno[2,3-b]benzo[e]thiepin-4-yliden)piperidin-1-yl]butyl}-3-(pyridin-3-yl)acrylamide;  
 N-[4-(4-diphenylphosphinoyloxypiperidin-1-yl)butyl]-3-(pyridin-3-yl)acrylamide;  
 N-[4-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)butyl]-3-(pyridin-3-yl)acrylamide;  
 N-[4-(2,5-dioxo-3,4-diphenyl-2,5-dihydropyrrol-1-yl)butyl]-3-(pyridin-3-yl)acrylamide;

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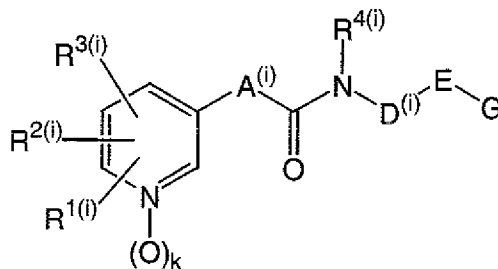
N-[4-(2,6-dioxo-4-phenylpiperidin-1-yl)butyl]-3-(pyridin-3-yl)acrylamide;  
 N-[4-(1,3-dioxo-4,5,6,7-tetraphenyl-1,3-dihydroisoindol-2-yl)butyl]-3-(pyridin-3-yl)acrylamide;  
 N-[4-(3-benzyl-2,4,5-trioxoimidazolidin-1-yl)butyl]-3-(pyridin-3-yl)acrylamide;  
 N-[4-(1,3,10-trioxo-1,4,5,6,10,10a-hexahydroacenaphtho[1,8a-c]pyrrol-2-yl)butyl]-3-(pyridin-3-yl)acrylamide;  
 N-[4-(2,5-dioxo-4,4-diphenylimidazolidin-1-yl)butyl]-3-(pyridin-3-yl)acrylamide;  
 N-[4-(2,5-dioxo-3-phenyl-2,5-dihydropyrrol-1-yl)butyl]-3-(pyridin-3-yl)acrylamide;  
 N-[3-(2,5-dioxo-3,4-diphenyl-2,5-dihydropyrrol-1-yl)propyl]-3-(pyridin-3-yl)acrylamide;  
 N-[4-(3-pyridin-3-ylacryloylamino)butyl]-2,3:5,6-dibenzobicyclo[2.2.2]octan-7,8-dicarboximide;  
 N-[4-(5-benzyliden-2,4-dioxothiazolidin-3-yl)butyl]-3-(pyridin-3-yl)acrylamide;  
 N-[4-(4-benzyl-2,6-dioxopiperazin-1-yl)butyl]-3-(pyridin-3-yl)acrylamide;  
 N-[6-(2,5-dioxo-3,4-diphenyl-2,5-dihydropyrrol-1-yl)hexyl]-3-(pyridin-3-yl)acrylamide;  
 N-[4-(2,5-dioxo-3,4-diphenyl-2,5-dihydropyrrol-1-yl)butyl]-3-(pyridin-3-yl)propionamide;  
 N-[4-(1,3-dioxo-1,3-dihydroisoindol-2-yl)butyl]-3-(pyridin-3-yl)acrylamide;  
 N-[4-(1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl)butyl]-3-(1-oxopyridin-3-yl)acrylamide;  
 N-[6-(1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl)hexyl]-3-(pyridin-3-yl)acrylamide;  
 N-[2-(1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl)ethyl]-3-(pyridin-3-yl)acrylamide;  
 N-[4-(1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl)butyl]-3-(pyridin-3-yl)acrylamide;  
 N-[8,8-bis(4-fluorophenyl)octyl]-3-(pyridin-3-yl)acrylamide hydrochloride;  
 N-[6-(3,3-diphenylureido)hexyl]-3-(pyridin-3-yl)acrylamide;  
 N-[4-(1-phenyl-1,2,4,5-tetrahydrobenzo[d]azepin-3-yl)butyl]-3-(pyridin-3-yl)acrylamide;  
 N-(8,8-diphenyloctyl)-3-(pyridin-3-yl)acrylamide;  
 N-(8-hydroxy-8,8-diphenyloctyl)-3-(pyridin-3-yl)acrylamide;  
 N-[4-(3,3-diphenylureido)butyl]-3-(pyridin-3-yl)acrylamide;  
 N-[4-(1H,3H-benzo[de]isoquinolin-2-yl)butyl]-3-(pyridin-3-yl)acrylamide;  
 N-[6-(10,11-dihydrodibenzo[b,f]azepin-5-ylcarbonylamino)hexyl]-3-(pyridin-3-yl)acrylamide;

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3-(pyridin-3-yl)-N-[6-tosylaminoethyl]acrylamide;  
 N-[4-(1,1-dioxo-1-thia-2-azaacenaphthylen-2-yl)butyl]-3-(pyridin-3-yl)acrylamide;  
 N-(6-hydroxy-6,6-diphenylhexyl)-3-(pyridin-3-yl)acrylamide;  
 N-(6,6-diphenylhex-5-enyl)-3-(pyridin-3-yl)acrylamide;  
 N-[4-(4,5-diphenylimidazol-1-yl)butyl]-3-(pyridin-3-yl)acrylamide;  
 N-[4-(trans-2-phenylcyclopropylcarbonylamino)butyl]-3-(pyridin-3-yl)acrylamide;  
 N-(5-hydroxy-5,5-diphenylpentyl)-3-(pyridin-3-yl)acrylamide;  
 N-(7-phenylheptyl)-3-(pyridin-3-yl)acrylamide;  
 N-(4-diphenylacetylaminobutyl)-3-(pyridin-3-yl)acrylamide;  
 N-[4-(benzhydrylamino)butyl]-3-(pyridin-3-yl)acrylamide; and  
 N-(4-{[2-(benzhydrylmethylamino)ethyl]methylamino}butyl)-3-(pyridin-3-yl)acrylamide.

80. (Withdrawn) The method of claim 73 comprising the additional administration of a further cancerostatic or immunosuppressive agent that is not a compound of formula Ia.

81. (Withdrawn) The method of Claim 72, wherein the cancerostatic or immunosuppressive agent is selected from the group consisting of compounds of formula (I):



(I)

wherein:

**R<sup>1(i)</sup>** is selected from

hydrogen, halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>2</sub>-C<sub>6</sub>-alkinyl, trifluoromethyl, hydroxy, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy,

C<sub>3</sub>-C<sub>6</sub>-alkenyloxy, C<sub>3</sub>-C<sub>6</sub>-alkinyloxy, benzyloxy, C<sub>1</sub>-C<sub>7</sub>-alkanoyloxy, C<sub>1</sub>-C<sub>7</sub>-alkoxycarbonyloxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>3</sub>-C<sub>6</sub>-alkenylthio, C<sub>3</sub>-C<sub>6</sub>-alkinylthio, C<sub>3</sub>-C<sub>8</sub>-cycloalkyloxy, C<sub>3</sub>-C<sub>8</sub>-cycloalkylthio, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, aminocarbonyl, C<sub>2</sub>-C<sub>7</sub>-alkylaminocarbonyl, C<sub>3</sub>-C<sub>13</sub>-dialkylaminocarbonyl, carboxy, phenyl, phenoxy, phenylthio, pyridyloxy, pyridylthio, and **NR<sup>5(i)</sup>R<sup>6(i)</sup>**, wherein

**R<sup>5(i)</sup>** and **R<sup>6(i)</sup>** are selected independently from each other from hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>3</sub>-C<sub>6</sub>-alkinyl, benzyl and phenyl;

**R<sup>2(i)</sup>** is selected from hydrogen, halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy, benzyl and C<sub>1</sub>-C<sub>6</sub>-alkanoyloxy; or

**R<sup>1(i)</sup>** and **R<sup>2(i)</sup>** when they are adjacent optionally form a bridge selected from

-(CH<sub>2</sub>)<sub>4</sub>-, -(CH=CH)<sub>2</sub>- and -CH<sub>2</sub>O-**CR<sup>7(i)</sup>R<sup>8(i)</sup>**-O-, wherein

**R<sup>7(i)</sup>** and **R<sup>8(i)</sup>** are selected independently from each other from hydrogen and C<sub>1</sub>-C<sub>6</sub>-alkyl;

**R<sup>3(i)</sup>** selected is from

hydrogen, halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl and C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl;

**R<sup>4(i)</sup>** is selected from

hydrogen, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>3</sub>-C<sub>6</sub>-alkinyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy and benzyloxy;

**k** is 0 or 1,

**A(i)** is selected from

C<sub>1</sub>-C<sub>6</sub>-alkylene, optionally substituted one- to three-fold by C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>3</sub>-alkoxy, hydroxy, fluorine, or phenyl, C<sub>2</sub>-C<sub>6</sub>-alkylene, wherein a methylene unit is isosterically replaced by O, S, **NR<sup>9(i)</sup>**, CO, SO or SO<sub>2</sub>, wherein, with the exception of CO, the isosteric substitution is not adjacent to the amide group, and

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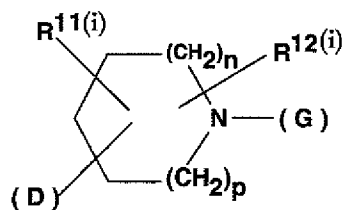
**R<sup>9(i)</sup>** is selected from hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>3</sub>-C<sub>6</sub>-alkinyl, C<sub>3</sub>-C<sub>6</sub>-acyl and C<sub>1</sub>-C<sub>6</sub>-alkanesulfonyl, 1,2-cyclopropylene, C<sub>2</sub>-C<sub>6</sub>-alkenylene, optionally substituted one to three-fold by C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, C<sub>1</sub>-C<sub>3</sub>-alkoxy, fluorine, cyano or phenyl, C<sub>4</sub>-C<sub>6</sub>-alkadienylene, optionally substituted once or twice by C<sub>1</sub>-C<sub>3</sub>-alkyl, fluorine, cyano or phenyl, 1,3,5-hexatrienylene, optionally substituted by C<sub>1</sub>-C<sub>6</sub>-alkyl, fluorine, cyano or phenyl, and ethynylene;

**D(i)** is selected from C<sub>1</sub>-C<sub>12</sub>-alkylene, optionally substituted once or twice by C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy, or phenyl, C<sub>2</sub>-C<sub>12</sub>-alkenylene or C<sub>4</sub>-C<sub>12</sub>-alkadienylene, optionally substituted once or twice by C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy, or phenyl, wherein one double-bond can optionally occur to ring **E** in the case that ring **E** is linked over a C-atom, C<sub>3</sub>-C<sub>12</sub>-alkinylene or C<sub>4</sub>-C<sub>12</sub>-alkenylene, optionally substituted once or twice by C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy or phenyl, and C<sub>1</sub>-C<sub>12</sub>-alkylene, C<sub>2</sub>-C<sub>12</sub>-alkenylene or C<sub>3</sub>-C<sub>12</sub>-alkinylene, wherein, one to three methylene units, with the exception of the (G)-terminal methylene group in the case that **E** represents a bond, are isosterically replaced by O, S, **NR<sup>10(i)</sup>**, CO, SO or SO<sub>2</sub>, wherein

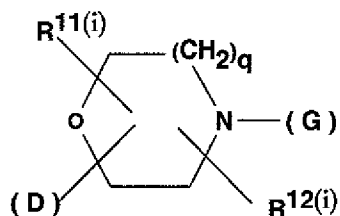
**R<sup>10(i)</sup>** has the same meaning as **R<sup>9(i)</sup>**, but is selected independently thereof;

**E** is selected from **E<sup>1(i)</sup>**, **E<sup>2(i)</sup>**, **E<sup>3</sup>**, **E<sup>4</sup>**, **E<sup>5</sup>** and **E<sup>6</sup>**, wherein

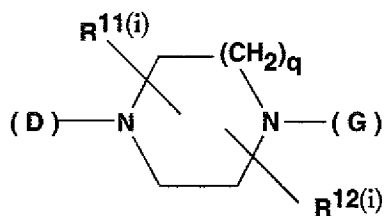
**E<sup>1(i)</sup>** is



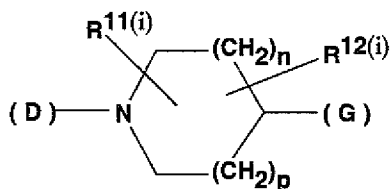
**E<sup>2(i)</sup>** is



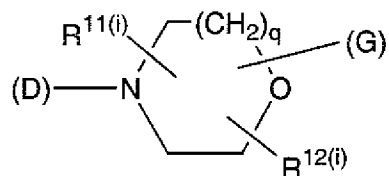
**E<sup>3</sup>** is



**E<sup>4</sup>** is



**E<sup>5</sup>** is



and

**E<sup>6</sup>** represents a single or double bond,

wherein the heterocyclic rings **E<sup>1(i)</sup>** to **E<sup>5</sup>** optionally have a double bond,

**n** and **p** are, independently from each other, 0, 1, 2, or 3 with the proviso that, **n + p ≤ 4**,

**q** is 1, 2 or 3;



**R<sup>11(i)</sup>** is selected from

hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy,

hydroxymethyl, carboxy and C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl,

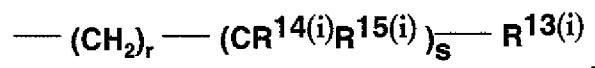
**R<sup>12(i)</sup>** is selected from

hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl and an oxo group adjacent to a nitrogen atom, or

**R<sup>11(i)</sup>** and **R<sup>12(i)</sup>**, optionally together, form a C<sub>1</sub>-C<sub>3</sub>-alkylene bridge under formation of a bicyclic ring system, and

(a) in the case that E represents **E<sup>1(i)</sup>**, **E<sup>2(i)</sup>**, or **E<sup>3</sup>** the substituent G optionally is selected from **G<sup>1(i)</sup>**, **G<sup>2(i)</sup>**, **G<sup>3(i)</sup>**, **G<sup>4(i)</sup>** and **G<sup>5(i)</sup>**, wherein

**G<sup>1(i)</sup>** is



wherein

**r** is 0 to 3 and

**s** is 0 or 1,

**R<sup>13(i)</sup>** is selected from

hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>3</sub>-C<sub>6</sub>-alkinyl and C<sub>3</sub>-C<sub>8</sub>-cycloalkyl;

saturated or unsaturated, four to seven-membered heterocycles which contain one or two hetero-atoms selected from N, S and O;

benzyl, phenyl;

monocyclic aromatic five or six-membered heterocycles which contain one to three hetero-atoms selected from N, S and O, and are either bound directly or over a methylene group;

anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group;

anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from N, S and O and the linkage occurs either

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over an aromatic or a hydrogenated ring and either directly or over a methylene group;

**R<sup>14(i)</sup>** has the same meaning as **R<sup>13(i)</sup>**, but is independently selected therefrom;

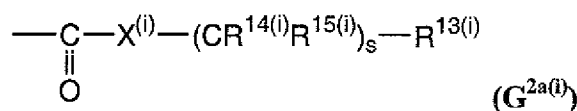
**R<sup>15(i)</sup>** is selected from

hydrogen, hydroxy, methyl, benzyl, phenyl,  
monocyclic aromatic five or six-membered heterocycles which contain one to three hetero-atoms selected from N, S and O and are either bound directly or over a methylene group;

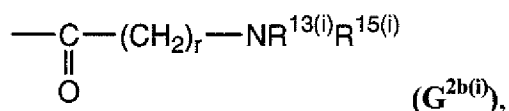
anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group;

anellated bi- and tricyclic aromatic or partially hydrated heterocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from N, S and O and the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group;

**G<sup>2(i)</sup>** is selected from

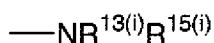


and



wherein **r**, **s** and the substituents **R<sup>13(i)</sup>**, **R<sup>14(i)</sup>** and **R<sup>15(i)</sup>** have the above meanings,

or the group



is a nitrogen-containing heterocycle bound over the nitrogen atom, which nitrogen-containing heterocycle is selected from

saturated and unsaturated monocyclic, four to eight-membered heterocycles, which aside from the essential nitrogen atom, optionally contain one or two further hetero-atoms selected from N, S and O,  
and

saturated and unsaturated bi- or tricyclic, anellated or bridged heterocycles with 8 to 16, 17 or 18 ring atoms, which aside from the essential nitrogen atom, optionally contain one or two further hetero-atoms selected from N, S and O,

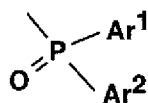
**X(i)** is selected from  
methylene, ethylene, ethenylene, propylene, and C<sub>3</sub>-C<sub>7</sub>-cycloalkylene, or  
represents a bond;

**G<sup>3(i)</sup>** is  

$$-\text{SO}_2-(\text{CH}_2)_r-\text{R}^{13(i)},$$

wherein **r** and **R<sup>13(i)</sup>** have the above meanings,

**G<sup>4(i)</sup>** is



wherein

**Ar<sup>1</sup>** and **Ar<sup>2</sup>** are selected independently from each other from phenyl, pyridyl and naphthyl;

**G<sup>5(i)</sup>** is  

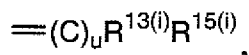
$$-\text{COR}^{16(i)}$$

wherein

**R<sup>16(i)</sup>** is selected from  
trifluoromethyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>3</sub>-C<sub>6</sub>-alkenyloxy, and benzyloxy,

(c) in the case that **E** is **E<sup>4</sup>** or **E<sup>5</sup>**,  
then **G** optionally is **G<sup>1(i)</sup>**, **G<sup>2(i)</sup>**, **G<sup>6(i)</sup>**, **G<sup>7</sup>** or **G<sup>8</sup>**,  
wherein **G<sup>1(i)</sup>** and **G<sup>2(i)</sup>** have the above meanings and

**G<sup>6(i)</sup>** is



wherein **R<sup>13(i)</sup>** and **R<sup>15(i)</sup>** have the above meanings and

**u** is 0 or 1,

or when **u** = 1, then **R<sup>13(i)</sup>** and **R<sup>15(i)</sup>** together with the carbon atom to which they are attached form a ring system selected from

C<sub>3</sub>-C<sub>8</sub>-cycloalkyl,

saturated, four to seven-membered heterocycles which optionally contain one or two hetero-atoms, selected from N, S and O;

anellated bi- and tricyclic partially hydrogenated carbocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring;

anellated bi- and tricyclic partially hydrogenated heterocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from N, S and O;

or when **u** = 0 then **R<sup>13(i)</sup>** and **R<sup>15(i)</sup>** together with the carbon atom of ring **E** to which they are attached form a ring system selected from

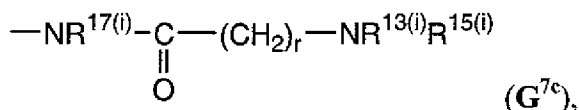
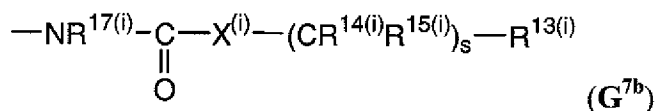
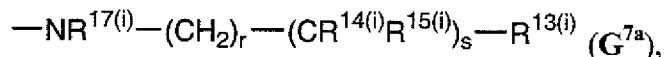
C<sub>3</sub>-C<sub>8</sub>-cycloalkyl,

saturated, four to seven-membered heterocycles which contain one or two hetero-atoms, selected from N, S and O;

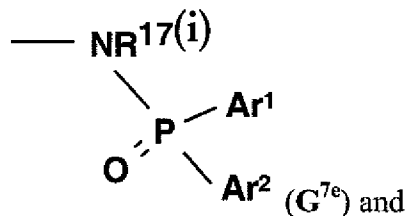
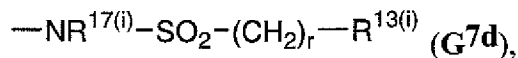
anellated bi- and tricyclic partially hydrogenated carbocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring; and

anellated bi- and tricyclic partially hydrogenated heterocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from N, S and O;

**G<sup>7</sup>** is selected from



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wherein  $r$ ,  $s$ ,  $\text{X}^{(i)}$ , the substituents  $\text{R}^{13(i)}$ ,  $\text{R}^{14(i)}$ ,  $\text{R}^{15(i)}$  and  $\text{R}^{16(i)}$  and the group

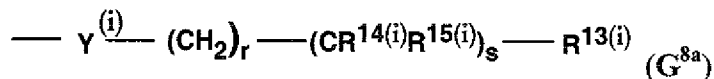


have the above meanings, and

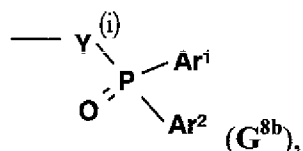
$\text{R}^{17(i)}$  has the same meanings as  $\text{R}^{5(i)}$ , but is selected independently thereof,

$\text{Ar}^1$  and  $\text{Ar}^2$  are selected independently from each other from phenyl, pyridyl and naphthyl;

$\text{G}^8$  is selected from



and



wherein

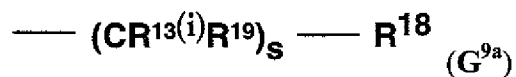
$r$ ,  $s$  and the substituents  $\text{R}^{13(i)}$ ,  $\text{R}^{14(i)}$ ,  $\text{R}^{15(i)}$ ,  $\text{Ar}^1$  and  $\text{Ar}^2$  have the above meanings, and

$\text{Y}^{(i)}$  is O or S;

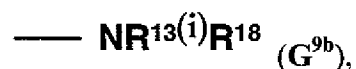
(c) in the case that the substituent  $\text{E}$  is  $\text{E}^6$ ,

then the substituent  $\text{G}$  optionally is selected from  $\text{G}^{7d}$ ,  $\text{G}^{7e}$ ,  $\text{G}^{8b}$ ,  $\text{G}^9$ ,  $\text{G}^{10}$ ,  $\text{G}^{11}$ ,  $\text{G}^{12}$ , and  $\text{G}^{13}$ , wherein  $\text{G}^{7d}$ ,  $\text{G}^{7e}$  and  $\text{G}^{8b}$  have the above meanings and

$\text{G}^9$  is selected from



and



wherein  $s$  and  $\text{R}^{13(i)}$  are defined as above, and

$\text{R}^{18}$  is selected from

benzyl, diphenylmethyl, phenyl;

monocyclic aromatic five and six-membered heterocycles which can contain one to three hetero-atoms selected from N, S and O and are either bound directly or over a methylene group;

annelated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group; and

annelated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from N, S and O and the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group;

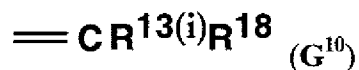
$\text{R}^{19}$  has the same meanings as  $\text{R}^{13(i)}$  but is selected independently thereof, and in addition can be hydroxy; or the group



optionally is a nitrogen-containing heterocycle bound over the nitrogen atom, which nitrogen-containing heterocycle is selected from

annelated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, which aside from the essential nitrogen atom, optionally contain one or two further hetero-atoms selected from N, S and O;

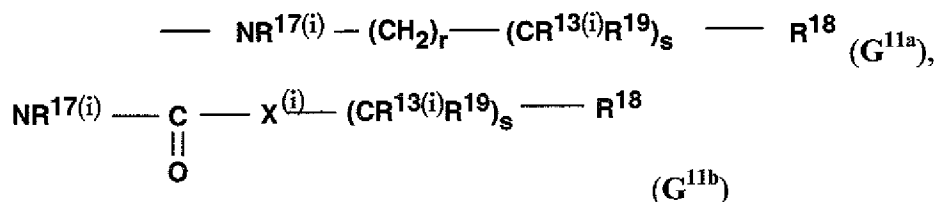
$\text{G}^{10}$  is



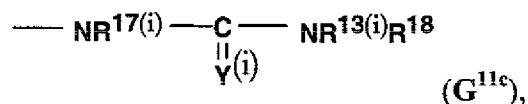
bound to D by means of a double bond, wherein  $\text{R}^{13(i)}$  and  $\text{R}^{18}$  have the above meanings, or wherein  $\text{G}^{10}$

optionally is a ring system bound over the carbon atom, selected from anellated bi- and tricyclic partially hydrogenated carbocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring; and anellated bi- and tricyclic partially hydrogenated heterocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, wherein one to three ring atoms optionally are selected from N, S and O;

$\text{G}^{11}$  is selected from

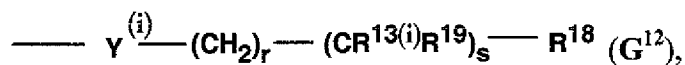


and



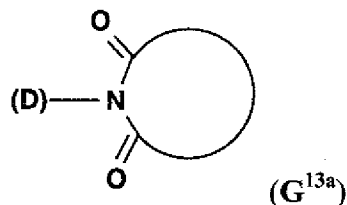
wherein  $r$ ,  $s$ ,  $\text{X}^{(i)}$ ,  $\text{Y}^{(i)}$ , the substituents  $\text{R}^{13(i)}$ ,  $\text{R}^{17(i)}$ ,  $\text{R}^{18}$  and  $\text{R}^{19}$  and the group  $\text{--- NR}^{13(i)}\text{R}^{18}$  have the above meanings;

$\text{G}^{12}$  is

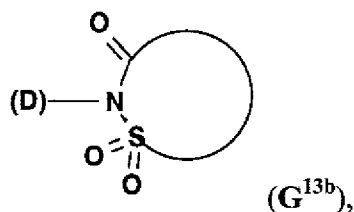


wherein  $r$ ,  $s$ ,  $\text{Y}^{(i)}$  and the substituents  $\text{R}^{13(i)}$ ,  $\text{R}^{18}$  and  $\text{R}^{19}$  have the above meanings;

$\text{G}^{13}$  is selected from



and



bound to D over the imide nitrogen atom, selected from saturated and unsaturated monocyclic imides with 5 to 7 ring atoms, which, aside from the essential imide nitrogen atom, optionally contains one or two further hetero-atoms selected from N, S and O;

saturated, unsaturated and aromatic anellated, bi-, tri- or tetracyclic imides with 8 to 18 ring atoms, which, aside from the essential imide nitrogen atom, optionally contain one or two further hetero-atoms selected from N, S and O;

saturated and unsaturated, bridged bi-, tri-, tetra- or pentacyclic imides with 8 to 22 ring-atoms, which, aside from the essential imide nitrogen atom, optionally contain one or two further hetero-atoms selected from N, S and O; and

saturated and unsaturated spirocyclic imides, optionally anellated one or two-fold, and with a total of 9 to 23 ring atoms, which, aside from the essential imide nitrogen atom, optionally contain one or two further hetero-atoms selected from N, S and O,

wherein these cyclic imides optionally are substituted by one to five of the same or different groups selected independently from each other from

halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkylidene, trifluoromethyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkylidene, phenyl-C<sub>1</sub>-C<sub>3</sub>-alkyl, phenyl-C<sub>1</sub>-C<sub>3</sub>-alkylidene, diphenyl-C<sub>1</sub>-C<sub>3</sub>-alkyl, diphenyl-C<sub>1</sub>-C<sub>3</sub>-alkylidene, triphenylmethyl, phenyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy entirely or partially substituted by fluorine, benzyloxy, phenoxy, naphthyloxy, mercapto, C<sub>1</sub>-C<sub>6</sub>-alkylthio, phenylthio, naphthylthio, pyridylthio, C<sub>1</sub>-C<sub>6</sub>-alkanesulfonyl, phenylsulfonyl, naphthylsulfonyl, pyridylsulfonyl, sulfo, carboxy, C<sub>2</sub>-C<sub>7</sub>-carboxyalkyl, C<sub>3</sub>-C<sub>7</sub>-carboxyalkenyl, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl,



benzyloxycarbonyl, nitro, amino, C<sub>1</sub>-C<sub>6</sub>-aminoalkyl, mono-C<sub>1</sub>-C<sub>6</sub>-alkylamino di(C<sub>1</sub>-C<sub>6</sub>-alkyl)amino, phenylamino, phenyl-C<sub>1</sub>-C<sub>3</sub>-alkylamino, pyridylamino, saturated and unsaturated, four to seven-membered heterocycles which contain one or two hetero-atoms selected from N, S and O and are either bound directly or over a methylene group or a methine group, monocyclic aromatic five and six-membered heterocycles which contain one to three hetero-atoms, selected from N, S and O and are either bound directly or over methylene group or a methine group, anellated bicyclic, aromatic and partially hydrogenated carbocyclic ring systems with 8 to 12 ring atoms which are either bound directly over a methylene group or a methine group, and anellated bicyclic aromatic and partially hydrogenated heterocyclic ring systems with 8 to 12 ring atoms, wherein one to three ring atoms are selected from N, S and O and are either bound directly or over a methylene group or a methine group, wherein aromatic ring systems in the substituents **R<sup>1(i)</sup>**, **R<sup>2(i)</sup>**, **R<sup>4(i)</sup>**, **R<sup>5(i)</sup>**, **R<sup>6(i)</sup>**, **R<sup>13(i)</sup>**, **R<sup>14(i)</sup>**, **R<sup>15(i)</sup>**, **R<sup>16(i)</sup>**, **R<sup>17(i)</sup>**, **R<sup>18</sup>**, **R<sup>19</sup>**, **Ar<sup>1</sup>** and **Ar<sup>2</sup>**, in the groups **A(i)** and **D(i)**, in the ring systems  $\text{=CR}^{13(i)}\text{R}^{15(i)}$ ,  $\text{=CR}^{13(i)}\text{R}^{18}$ ,  $\text{—NR}^{13(i)}\text{R}^{15(i)}$  and  $\text{—NR}^{13(i)}\text{R}^{18(i)}$  as well as substituents and/or substituents in the cyclic imides **G<sup>13</sup>** optionally are independently substituted by one to three of the same or different groups, selected from halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, benzyl, phenyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto, C<sub>1</sub>-C<sub>6</sub>-alkylthio, phenylthio, sulfo, carboxy, C<sub>2</sub>-C<sub>7</sub>-carboxyalkyl, C<sub>3</sub>-C<sub>7</sub>-carboxyalkenyl, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, C<sub>1</sub>-C<sub>6</sub>-aminoalkyl, mono-C<sub>1</sub>-C<sub>6</sub>-alkylamino and di(C<sub>1</sub>-C<sub>6</sub>-alkyl)amino, and in the case of two adjacent residues on the aromatic ring, methylenedioxy, wherein alkyl and cycloalkyl residues in the groups **G** optionally are substituted by one or two of the same or different groups, selected from

hydroxy, carboxy, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, benzyloxycarbonyl, amino, mono-C<sub>1</sub>-C<sub>6</sub>-alkylamino and di(C<sub>1</sub>-C<sub>6</sub>-alkyl)amino;

and the stereoisomers or racemic or non-racemic mixtures of stereoisomers thereof, and the tautomers thereof when G is a heterocyclic aromatic ring or an aromatic ring substituted by a hydroxy, mercapto or amino group, and the pharmacologically acceptable acid addition salts thereof.

82. (Withdrawn) The method of claim 73, wherein additionally to the prophylactically or therapeutically administered cancerostatic or immunosuppressive agent according to formula (I) a further cancerostatic or immunosuppressive agent different therefrom is administered.